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IN THE UNITED STATES PATENT AND TRADEMARK OFFICE

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| In re Application of | : | Customer Number 20277 |
| LANG, et al. | : | Confirmation Number: 4060 |
| Application No.: 09/401,004 | : | Group Art Unit: 1639 |
| Filed: September 21, 1999 | : | Examiner: Jon D. Epperson |
| For: BENZIMIDAZOLE DERIVATIVES AND COMBINATORIAL LIBRARIES THEREOF | : | |

PETITION TO REVIVE

Mail Stop Petition
Commissioner for Patents
P.O. Box 1450
Alexandria, VA 22313-1450

Sir:

This is a petition filed under 37 C.F.R. § 1.137(b) to revive the above-referenced patent application because the abandonment was unintentional. The above-referenced patent application was abandoned for failure to respond to a Notice of Non-Responsive Amendment dated October 12, 2004.¹ Petitioner requests that the U.S. Patent and Trademark Office revive the above-referenced patent application. The entire delay in filing the reply to the Notice of Non-Responsive Amendment from the due date for reply until the granting of the petition was unintentional and Petitioner requests that the application be revived. Petitioner includes a response

08/11/2005 JADD01 00000002 500417 09401004
01 FC:1453 1500.00 DA

¹ The Notice of Abandonment states that the application was abandoned in view of "Applicant's failure to timely file a proper reply to the Office letter mailed on 21 July 2004." This date is in error. The Office letter is dated October 12, 2004, and not July 21, 2004. The July 21st document referred to in the Notice of Abandonment is Applicant's reply (prepared and filed by Petitioner) to the non-final Office Action dated February 12, 2005.

to the Notice of Non-Responsive Amendment with this petition and authorizes that the petition fee as set forth in 37 C.F.R. § 1.17(m) be charged to Deposit Account 500417.

The Office issued a Notice of Abandonment on July 28, 2005, which included an Interview Summary which Applicant regards as incomplete. The substance of the interview as described by the Examiner in the Summary form does not reflect all of the facts and circumstances discussed with the Examiner that led to the abandonment.

On July 21, 2004, Petitioner prepared and filed a response to the Office Action dated February 12, 2004 along with the appropriate petition and fee for a three-month extension of time. The attorney of record in the application at the time the response was filed was David Spolter. The correspondence address in the application was Mr. Spolter's La Jolla, CA address. On October 12, 2004, the Examiner issued a Notice of Non-Responsive Amendment (hereinafter the Notice) and set a one-month period for response. The Notice was sent to Mr. Spolter.

On June 27, 2005, Examiner Epperson telephoned Petitioner to inquire whether a reply to the Notice had been filed. The petitioner informed the Examiner that he was unaware of the Notice and that the Notice had not been received by Petitioner's firm. Petitioner subsequently obtained a copy of the Notice from the PAIR report on the USPTO web site. The Notice had been sent to the Law Office of David Spolter, but was never forwarded by Mr. Spolter to Petitioner's firm, nor apparently was the Notice returned to the USPTO as being undeliverable.² Therefore, the Notice was never docketed in Petitioner's firm.

² The Notice of Abandonment dated July 28, 2005, along with the Interview Summary were mailed to Mr. Spolter's La Jolla, CA address of record in the patent application file. Petitioner copied the Notice of Abandonment and the Interview Summary form from the PAIR report system. The documents did not become available on the system until on or about August 4, 2005. Copies of the documents obtained from the PAIR report are attached as Exhibit 2.

The due date for the response to the Notice was November 12, 2004. It is customary, when actions are received from the USPTO, for Petitioner's firm to log the action into a master docket. Each work day, the actions due to be filed in the USPTO are listed. If the Notice had been received and docketed by Petitioner's firm, the master docket for November 12, 2004 would have listed the client matter number (53904-105) and the action type and reason for the due date. A copy of the master docket for November 12, 2004 is attached as Exhibit 1, and it shows that the Notice was not received and docketed.

By way of background, on or about May 6, 2004, David Spolter transferred the above referenced patent application to Petitioner's firm as instructed by the real party in interest, LION Bioscience AG. At the time the application was filed, the application had been assigned to Trega Biosciences, Inc. In or about 2001, LION acquired Trega. The document assigning the application to LION was recorded in the USPTO on September 13, 2001, at reel 012134, Frame 0632. At the time the Notice of Non-Responsive Amendment was mailed to Mr. Spolter, he was aware that the patent application has been transferred to petitioner's firm for prosecution.

When petitioner tried to contact Mr. Spolter to have him forward the original Notice to Petitioner's firm as well as to get his cooperation in this case, Petitioner could not locate him. When Petitioner tried to get his current address from the PTO web site by accessing the register of patent attorneys and agents, it was discovered that he was not listed on the register. Petitioner subsequently learned from the Office of Enrollment and Discipline (OED) that Mr. Spolter's

name had been removed from the register for failure to respond to a survey letter from OED in 2003.³

Using the internet, Petitioner located Mr. Spolter as being affiliated with Ehrlich & Partners, located at Ayalon Tower, 15th Floor, 11 Menachem Begin, Street, 52 521 Ramat Gan, Israel. Petitioner corresponded by email with Mr. Spolter and, according to Mr. Spolter, he moved from and sold the property located at La Jolla, CA on or about August or September 2003 and moved to Israel. He says that he was not aware of the Notice and that if he was, he would have forwarded it to Petitioner's firm. Also according to Mr. Spolter, he was relying on petitioner's firm to file a revocation and new power of attorney.

The Examiner was advised of the following facts: (i) Mr. Spolter had moved from his La Jolla, CA address, (ii) Mr. Spolter had been removed from the register of patent attorneys and agents in August 2003, and (iii) the failure to respond was because (a) Mr. Spolter did not forward the Notice to petitioner's firm (he says he never received it) and (b) Petitioner's firm was not aware of the Notice until June 27, 2005 when the Examiner called to inquire as to whether a response had been filed.

³ Notice of Mr. Spolter's removal from the register was published in 1274 TMOG 163 (September 23, 2003). It is noted that in November 2003, Mr. Spolter filed in this application, *inter alia*, an amendment which he signed on November 5, 2003. In view of the fact that he had been removal from the register when he signed the amendment, the propriety of this amendment is unknown. See MPEP 714.01(a). However, Mr. Spolter was not aware that he had been removed from the register until informed by petitioner on or about July 13, 2005 and also personnel in Tech Center 1600 were not aware that he had been removed from the register. Since the Office acted on the amendment, it is believed that the Office has accepted the amendment. If not, a power of attorney is included with this petition from the assignee of the application and by virtue of the power of attorney, the assignee hereby ratifies the November 2003 amendment.

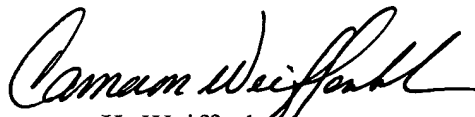
Application No. 09/401,004

Enclosed with this petition is a revocation and new power of attorney and change of correspondence address from the assignee, LION Bioscience AG. It is respectfully requested that the revocation and new power be accepted.

To the extent necessary, a petition for an extension of time under 37 C.F.R. § 1.136 is hereby made. Please charge any shortage in fees due under 37 C.F.R. § 1.17 and in connection with the filing of this paper and the reply to the Notice, including extension of time fees, to Deposit Account 500417 and please credit any excess fees to such deposit account.

Respectfully submitted,

McDERMOTT WILL & EMERY LLP



Cameron K. Weiffenbach
Registration No. 44,488

600 13th Street, N.W.
Washington, DC 20005-3096
Phone: 202.756.8000 CKW:ckw
Facsimile: 202.756.8087
Date: August 9, 2005

**Please recognize our Customer No. 20277
as our correspondence address.**

Thursday, November 11, 2004

Patent Due Date List By Date

Page: 1

From 12-Nov-2004 To 14-Nov-2004

Master

| Due date/ Date Type | Reason for Date | Action Base Date/ Action Type | Family | Client -Matter (APP) | Country | Application Number | Filing Date | Resp. Atty/ Assign Atty/ Paralegal/ |
|---|--|---|-------------|----------------------|---------|-----------------------|-------------|--|
| 12-Nov-2004 Reminder | RESEND RESP INSTR TO F.A. Status: Pending | 10-Nov-2004 RESPONSE DUE | 036080-0037 | 036080-0050 | CA | 2446539 | 24-May-2002 | LTC |
| Title: SYSTEM AND METHOD FOR ELECTRONIC PRESENTATIONS | | | | | | | | |
| Canada | | | | | | | | |
| Remarks: -- REQUISITIONS DTD 5/10/04 RECD 6/1/04 -- COPY OF IPER SENT TO F/A 9/9/04; ACK RECEIPT RECD VIA FAX 9/16/04 -- LTR DTD 9/20/04 FROM F.A. REPORTING MISSING 1 PG OF IPER & REMIND DUE DT FOR RESP RECVD 9/27/04; RESP SENT PER DOCKET 10/8/04 -- FAX LTR DTD 11/3/04 FROM F.A. REMIND DUE DT (11/10/04) FOR RESP & REQNG OUR INSTR RECVD 11/3/04 | | | | | | | | |
| 12-Nov-2004 Due Date | FILE CONT APPLN OF 43890-590 Status: Unified | 12-Oct-2004 FILE CONT APPLN OF 43890-590 | 043890-0336 | 043890-0701 | US | | | MEF |
| Title: LIGHT-EMITTING DEVICE COMPRISING A GALLIUM-NITRIDE-GROUP COMPOUND-SEMICONDUCTOR | | | | | | | | |
| United States of America | | | | | | | | |
| Remarks: "", PER CLTS INSTRCS RECD 10/4/04 | | | | | | | | |
| 12-Nov-2004 Due Date | APPEAL/RESPONSE DUE Status: Pending | 12-Aug-2004 US-Final Office Action | 043890-0401 | 043890-0401 | US | 09/493,677 | 28-Jan-2000 | MEF |
| Title: HEATSINK, METHOD OF MANUFACTURING THE SAME AND COOLING APPARATUS USING THE SAME | | | | | | | | |
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| Remarks: -- FINAL OA DTD 8/12/04 RECD 8/16/04 -- 10/22/04 CLT FAX W/RESP INSTR | | | | | | | | |
| 12-Nov-2004 Due Date | FILE DIV APPLN OF 43890-560 Status: Unified | 29-Oct-2004 FILE DIV APPLN OF 43890-560 | 043890-0560 | 043890-0702 | US | | | MEF |
| Title: METHOD AND SYSTEM OF DRYING MATERIALS AND METHOD OF MANUFACTURING CIRCUIT BOARDS USING THE SAME | | | | | | | | |
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Patent Due Date List By Date

From 12-Nov-2004 To 14-Nov-2004

| Due date/ Date Type | Reason for Date | Action Base Date/ Action Type | Family | Client -Matter (APP) | Country | Application Number | Filing Date | Resp. Atty/ Assign Atty/ Paralegal/ |
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| 12-Nov-2004 | RESPONSE DUE | 12-Aug-2004 | 058799-0038 | 058799-0038 | US | 09/781,187 | 13-Feb-2001 | JAH |
| Due Date | Status: Published | US-3 Month Office Action | HITACHI, LTD. | United States of America | JAH | | | |
| Title: METHOD OF PROVIDING LOCATION SERVICE USING CDMA-BASED CELLULAR PHONE SYSTEM, A METHOD OF MEASURING LOCATION AND LOCATION SYSTEM | | | | | | | | |
| Remarks: 3MO OA DTD 08/12/04 RECD 08/16/04 | | | | | | | | |

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| 12-Nov-2004 | RESTRICION REQUIREMENT 2 WKS | 26-Oct-2004 | 060188-0210 | 060188-0210 | US | 10/281,230 | 28-Oct-2002 | MEF |
| Reminder | | US-Restriction (1 Month) | | | MAEDA PATENT OFFICE (MATSUSHITA) | | | MEF |
| | Status: Published | | | | United States of America | | | |
| | | | | | Title: SEMICONDUCTOR INTEGRATED CIRCUIT DEVICE, METHOD OF TESTING THE SAME, DATABASE FOR DESIGN OF THE SAME AND METHOD OF DESIGNING THE SAME | | | |
| | | | | | Remarks: IMO RESTRICTIVE OA DTD 10/26/04 RECV'D 10/28/04 | | | |

[illegible]

Master

| Due date/ Date Type | Reason for Date | Action Base Date/ Action Type | Family | Client -Matter (APP) | Country | Application Number | Filing Date | Resp. Atty/ Assign Atty/ Paralegal/ |
|------------------------|--|----------------------------------|-------------|----------------------|---------|---|-------------|--|
| 12-Nov-2004 | RESEND RESP INSTR TO F.A. Status: Pending | 10-Nov-2004 | 036080-0037 | 036080-0050 | CA | 2446339 | 24-May-2002 | LTC |
| Reminder | | RESPONSE DUE | | | | LEARNING TREE INTERNATIONAL Canada | | LTC |
| | | | | | | Title: SYSTEM AND METHOD FOR ELECTRONIC PRESENTATIONS | | |
| | | | | | | Remarks: -- REQUISITIONS DTD 5/10/04 RECD 6/1/04 -- COPY OF IPER SENT TO F/A 9/9/04; ACK RECEIPT RECD VIA FAX 9/16/04 -- LTR DTD 9/20/04 FROM F.A. REPORTING MISSING 1 PG OF IPER & REMIND DUE DT FOR RESP RECD 9/27/04; RESP SENT PER DOCKET 10/8/04 -- FAX LTR DTD 11/3/04 FROM F.A. REMIND DUE DT (11/10/04) FOR RESP & REQNG OUR INSTR RECD 11/3/04 | | |
| 12-Nov-2004 | FILE CONT APPLN OF 43890-590 Status: Unified | 12-Oct-2004 | 043890-0336 | 043890-0701 | US | | | MEF |
| Due Date | | FILE CONT APPLN OF 43890-590 | | | | MATSUSHITA ELECTRIC INDUSTRIAL (DIRECT) | | AHC |
| | | | | | | United States of America | | |
| | | | | | | Title: LIGHT-EMITTING DEVICE COMPRISING A GALLIUM-NITRIDE-GROUP COMPOUND-SEMICONDUCTOR | | APP |
| | | | | | | Remarks: „ PER CLT'S INSTRCS RECD 10/4/04 | | |
| 12-Nov-2004 | APPEAL/RESPONSE DUE Status: Pending | 12-Aug-2004 | 043890-0401 | 043890-0401 | US | 09/493,677 | 28-Jan-2000 | MEF |
| Due Date | | US-Final Office Action | | | | MATSUSHITA ELECTRIC INDUSTRIAL (DIRECT) | | LTC |
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| | | | | | | Remarks: -- FINAL OA DTD 8/12/04 RECD 8/16/04 -- 10/22/04 CLT FAX W/RESP INSTR | | |
| 12-Nov-2004 | FILE DIV APPLN OF 43890-560 Status: Unified | 29-Oct-2004 | 043890-0560 | 043890-0702 | US | | | MEF |
| Due Date | | FILE DIV APPLN OF 43890-560 | | | | MATSUSHITA ELECTRIC INDUSTRIAL (DIRECT) | | AHC |
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UNITED STATES PATENT AND TRADEMARK OFFICE

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P.O. Box 1450
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www.uspto.gov

| APPLICATION NO. | FILING DATE | FIRST NAMED INVENTOR | ATTORNEY DOCKET NO. | CONFIRMATION NO. |
|-----------------|-------------|----------------------|---------------------|------------------|
| 09/401,004 | 09/21/1999 | HENGYUAN LANG | P-HP-3589 | 4060 |

7590 07/28/2005
LAW OFFICE OF DAVID SPOLTER
1590 COAST WALK
LA JOLLA, CA 92037

EXAMINER

EPPERSON, JON D

| ART UNIT | PAPER NUMBER |
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1639

DATE MAILED: 07/28/2005

Please find below and/or attached an Office communication concerning this application or proceeding.

Notice of Abandonment

Application No.

09/401,004

Examiner

Jon D. Epperson

Applicant(s)

LANG ET AL.

Art Unit

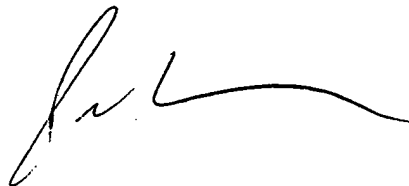
1639

-- The MAILING DATE of this communication appears on the cover sheet with the correspondence address--

This application is abandoned in view of:

1. ☒ Applicant's failure to timely file a proper reply to the Office letter mailed on 21 July 2004.
 - (a) ☐ A reply was received on _____ (with a Certificate of Mailing or Transmission dated _____), which is after the expiration of the period for reply (including a total extension of time of _____ month(s)) which expired on _____.
 - (b) ☐ A proposed reply was received on _____, but it does not constitute a proper reply under 37 CFR 1.113 (a) to the final rejection.
(A proper reply under 37 CFR 1.113 to a final rejection consists only of: (1) a timely filed amendment which places the application in condition for allowance; (2) a timely filed Notice of Appeal (with appeal fee); or (3) a timely filed Request for Continued Examination (RCE) in compliance with 37 CFR 1.114).
 - (c) ☐ A reply was received on _____ but it does not constitute a proper reply, or a bona fide attempt at a proper reply, to the non-final rejection. See 37 CFR 1.85(a) and 1.111. (See explanation in box 7 below).
 - (d) ☒ No reply has been received.
2. ☐ Applicant's failure to timely pay the required issue fee and publication fee, if applicable, within the statutory period of three months from the mailing date of the Notice of Allowance (PTOL-85).
 - (a) ☐ The issue fee and publication fee, if applicable, was received on _____ (with a Certificate of Mailing or Transmission dated _____), which is after the expiration of the statutory period for payment of the issue fee (and publication fee) set in the Notice of Allowance (PTOL-85).
 - (b) ☐ The submitted fee of \$_____ is insufficient. A balance of \$_____ is due.
The issue fee required by 37 CFR 1.18 is \$_____. The publication fee, if required by 37 CFR 1.18(d), is \$_____.
 - (c) ☐ The issue fee and publication fee, if applicable, has not been received.
3. ☐ Applicant's failure to timely file corrected drawings as required by, and within the three-month period set in, the Notice of Allowability (PTO-37).
 - (a) ☐ Proposed corrected drawings were received on _____ (with a Certificate of Mailing or Transmission dated _____), which is after the expiration of the period for reply.
 - (b) ☐ No corrected drawings have been received.
4. ☐ The letter of express abandonment which is signed by the attorney or agent of record, the assignee of the entire interest, or all of the applicants.
5. ☐ The letter of express abandonment which is signed by an attorney or agent (acting in a representative capacity under 37 CFR 1.34(a)) upon the filing of a continuing application.
6. ☐ The decision by the Board of Patent Appeals and Interference rendered on _____ and because the period for seeking court review of the decision has expired and there are no allowed claims.
7. ☒ The reason(s) below.

Please see attached Interview Summary



Petitions to revive under 37 CFR 1.137(a) or (b), or requests to withdraw the holding of abandonment under 37 CFR 1.181, should be promptly filed to minimize any negative effects on patent term.

| | | | | |
|--------------------------|-----------------|--|--------------|--|
| Interview Summary | Application No. | | Applicant(s) | |
| | 09/401,004 | | LANG ET AL. | |
| | Examiner | | Art Unit | |
| | Jon D. Epperson | | 1639 | |

All participants (applicant, applicant's representative, PTO personnel):

(1) Jon D. Epperson. (3)_____.

(2) Cameron Weiffenbach. (4)_____.

Date of Interview: 7/20/05.

Type: a) ☒ Telephonic b) ☐ Video Conference
c) ☐ Personal [copy given to: 1) ☐ applicant 2) ☐ applicant's representative]

Exhibit shown or demonstration conducted: d) ☐ Yes e) ☒ No.

If Yes, brief description: _____.

Claim(s) discussed: N/A.

Identification of prior art discussed: N/A.


Agreement with respect to the claims f) ☐ was reached. g) ☐ was not reached. h) ☒ N/A.

Substance of Interview including description of the general nature of what was agreed to if an agreement was reached, or any other comments: Applicants stated that no response had been filed to the 7/21/04 non-reponsive amendment. Therefore, the case is abandoned.

(A fuller description, if necessary, and a copy of the amendments which the examiner agreed would render the claims allowable, if available, must be attached. Also, where no copy of the amendments that would render the claims allowable is available, a summary thereof must be attached.)

THE FORMAL WRITTEN REPLY TO THE LAST OFFICE ACTION MUST INCLUDE THE SUBSTANCE OF THE INTERVIEW. (See MPEP Section 713.04). If a reply to the last Office action has already been filed, APPLICANT IS GIVEN ONE MONTH FROM THIS INTERVIEW DATE, OR THE MAILING DATE OF THIS INTERVIEW SUMMARY FORM, WHICHEVER IS LATER, TO FILE A STATEMENT OF THE SUBSTANCE OF THE INTERVIEW. See Summary of Record of Interview requirements on reverse side or on attached sheet.

Examiner Note: You must sign this form unless it is an Attachment to a signed Office action.



 Examiner's signature, if required

Docket No.: 053904-0105

PATENT

IN THE UNITED STATES PATENT AND TRADEMARK OFFICE

| | | |
|--|---|---------------------------|
| In re Application of | : | Customer Number: 20277 |
| | : | |
| LANG, et al. | : | Confirmation Number: 4060 |
| | : | |
| Application No.: 09/401,004 | : | Group Art Unit: 1639 |
| | : | |
| Filed: September 21, 1999 | : | Examiner: Jon D. Epperson |
| | : | |
| For: BENZIMIDAZOLE DERIVATIVES AND COMBINATORIAL LIBRARIES THEREOF | | |

RESPONSE TO NOTICE OF NON-RESPONSIVE AMENDMENT
AND SUPPLEMENTAL AMENDMENT

Mail Stop Amendment
Commissioner for Patents
P.O. Box 1450
Alexandria, VA 22313-1450

Sir:

This is in response to a Notice of Non-Responsive Amendment dated October 12, 2004.

The above-referenced patent application has been amended as set forth below.

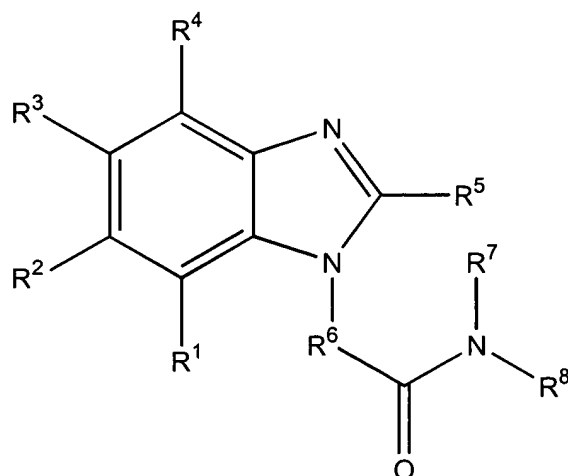
Please amend claims 51 and 57 as set forth in the "Amendments to the Claims" on pages 20 and 25 of this response. Also, the claims as originally presented included two claims assigned number 63. The second appearing claim 63 and claims 64 to claim 70 have been renumbered as claims 64-71. The claims as renumbered appear in the "Amendments to the Claims" on pages 29 to 32 of this response.

AMENDMENT TO THE CLAIMS

A listing of the claims presented in this patent application appears below. This listing replaces all prior versions and listing of claims in this patent application.

Claims 1-40 (canceled).

Claim 41 (previously presented): A single compound of the formula:



wherein:

R¹, R², R³ and R⁴ are, independently, selected from the group consisting of a hydrogen atom, halo, hydroxy, protected hydroxy, cyano, C₁ to C₁₂ alkyl, C₂ to C₁₂ alkenyl, C₂ to C₁₂ alkynyl, C₁ to C₁₂ substituted alkyl, C₂ to C₁₂ substituted alkenyl, C₂ to C₁₂ substituted alkynyl, C₁ to C₁₂ alkoxy, C₁ to C₁₂ substituted alkoxy, C₁ to C₁₂ acyloxy, C₁ to C₁₂ acyl, C₃ to C₇ cycloalkyl, C₃ to C₇ substituted cycloalkyl, C₅ to C₇ cycloalkenyl, C₅ to C₇ substituted cycloalkenyl, heterocyclic ring, substituted heterocyclic ring, C₇ to C₁₈ phenylalkyl, C₇ to C₁₈ substituted phenylalkyl, C₁ to C₁₂ heterocycloalkyl, C₁ to C₁₂ substituted heterocycloalkyl, phenyl, substituted phenyl, naphthyl, substituted naphthyl, cyclic C₂ to C₇ alkylene, substituted cyclic C₂ to C₇ alkylene, cyclic C₂ to C₇ heteroalkylene, substituted cyclic C₂ to C₇ heteroalkylene, carboxy, protected carboxy, hydroxymethyl, protected hydroxymethyl, protected

amino, (monosubstituted)amino, protected (monosubstituted)amino, (disubstituted)amino, C₁ to C₁₀ alkylamino, C₁ to C₁₀ substituted alkylamino, carboxamide, protected carboxamide, C₁ to C₁₀ alkylthio, C₁ to C₁₀ substituted alkylthio, C₁ to C₁₀ alkylsulfonyl, C₁ to C₁₀ substituted alkylsulfonyl, C₁ to C₁₀ alkylsulfoxide, C₁ to C₁₀ substituted alkylsulfoxide, phenylthio, substituted phenylthio, phenylsulfoxide, substituted phenylsulfoxide, phenylsulfonyl, substituted phenylsulfonyl and the group consisting of (i) the formula $-C(O)NR^{11}R^{12}$, (ii) the formula $-C(O)R^{11}$, (iii) the formula $-NR^{11}R^{12}$, (iv) the formula $-SR^{11}$, (v) the formula $-OR^{11}$ and (vi) the formula $-C(O)OR^{11}$, wherein R¹¹ and R¹² are, independently, selected from the group consisting of a hydrogen atom, C₁ to C₁₂ alkyl, C₁ to C₁₂ substituted alkyl, C₂ to C₁₂ alkenyl, C₂ to C₁₂ substituted alkenyl, phenyl, substituted phenyl, naphthyl, substituted naphthyl, C₇ to C₁₈ phenylalkyl, C₇ to C₁₈ substituted phenylalkyl, C₁ to C₁₂ heterocycloalkyl, C₁ to C₁₂ substituted heterocycloalkyl, heteroaryl, substituted heteroaryl, heterocycle, substituted heterocycle, phenylsulfonyl, substituted phenylsulfonyl, C₁ to C₁₀ alkylsulfonyl, C₁ to C₁₀ substituted alkylsulfonyl, C₁ to C₁₂ alkylaminocarbonyl, C₁ to C₁₂ substituted alkylaminocarbonyl, phenylaminocarbonyl and substituted phenylaminocarbonyl;

R⁵ is selected from the group consisting of a hydrogen atom, C₁ to C₁₂ alkyl, C₁ to C₁₂ substituted alkyl, phenyl, substituted phenyl, C₇ to C₁₈ phenylalkyl, C₇ to C₁₈ substituted phenylalkyl, C₁ to C₁₂ heterocycloalkyl, C₁ to C₁₂ substituted heterocycloalkyl, carboxy, protected carboxy, cyano, protected (monosubstituted)amino, (disubstituted)amino, C₁ to C₁₂ acyl, C₁ to C₁₂ substituted acyl, C₁ to C₁₂ alkoxycarbonyl, C₁ to C₁₂ substituted alkoxycarbonyl, heterocycle, substituted heterocycle, naphthyl, substituted naphthyl, C₃ to C₇ cycloalkyl, C₃ to C₇ substituted cycloalkyl, C₅ to C₇ cycloalkenyl and C₅ to C₇ substituted cycloalkenyl;

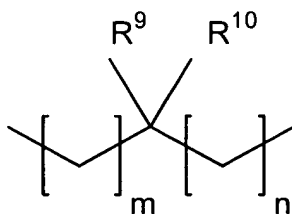
R⁶ is the formula:

-D-W-E-

wherein:

W is selected from the group consisting of phenylene, substituted phenylene, C₃ to C₇ cycloalkylene, C₃ to C₇ substituted cycloalkylene, C₅ to C₇ cycloalkenylene, C₅ to C₇ substituted cycloalkenylene, arylene, substituted arylene, heterocyclene, substituted heterocyclene, heteroarylene and substituted heteroarylene; and

D, which is directly attached to the nitrogen depicted in the formula, and E, which can be absent, are independently selected from the group consisting of C₁ to C₁₂ alkylene, C₂ to C₁₂ alkenylene, C₂ to C₁₂ alkynylene, C₁ to C₁₂ substituted alkylene, C₂ to C₁₂ substituted alkenylene, C₂ to C₁₂ substituted alkynylene, C₃ to C₇ cycloalkylene, C₃ to C₇ substituted cycloalkylene, C₅ to C₇ cycloalkenylene, C₅ to C₇ substituted cycloalkenylene, C₇ to C₁₈ phenylalkylene, C₇ to C₁₈ substituted phenylalkylene, C₁ to C₁₂ heterocycloalkylene and C₁ to C₁₂ substituted heterocycloalkylene, -NH- and the formula:



wherein R⁹ and R¹⁰ are, independently, selected from the group consisting of a hydrogen atom, C₁ to C₁₂ alkyl, C₂ to C₁₂ alkenyl, C₂ to C₁₂ alkynyl, C₁ to C₁₂ substituted alkyl, C₂ to C₁₂ substituted alkenyl, C₂ to C₁₂ substituted alkynyl, C₁ to C₁₂ acyl, C₁ to C₁₂ substituted acyl, C₃ to C₇ cycloalkyl, C₃ to C₇ substituted cycloalkyl, C₅ to C₇ cycloalkenyl, C₅ to C₇ substituted cycloalkenyl, a heterocyclic ring, substituted heterocyclic ring, heteroaryl, substituted heteroaryl, C₇ to C₁₈ phenylalkyl, C₇ to C₁₈ substituted phenylalkyl, C₁ to C₁₂ heterocycloalkyl, C₁ to C₁₂ substituted heterocycloalkyl, C₇ to C₁₈ phenylalkoxy, C₇ to C₁₈ substituted phenylalkoxy, phenyl, substituted phenyl, naphthyl, substituted naphthyl, cyclic C₂ to C₇ alkylene, substituted cyclic C₂ to C₇ alkylene, cyclic C₂ to C₇ heteroalkylene, substituted cyclic C₂ to C₇

heteroalkylene, carboxy, protected carboxy, hydroxymethyl and protected hydroxymethyl; and m and n are, independently, 0, 1, 2, 3 or 4; and

R^7 and R^8 are, independently, selected from the group consisting of a functionalized resin, a hydrogen atom, C_1 to C_{12} alkyl, C_1 to C_{12} substituted alkyl, phenyl, substituted phenyl, heterocycle, substituted heterocycle, C_3 to C_7 cycloalkyl, C_3 to C_7 substituted cycloalkyl, C_5 to C_7 cycloalkenyl, C_5 to C_7 substituted cycloalkenyl, C_2 to C_{12} alkenyl, C_2 to C_{12} substituted alkenyl, C_7 to C_{18} phenylalkyl, C_7 to C_{18} substituted phenylalkyl, C_1 to C_{12} heterocycloalkyl, [[and]] C_1 to C_{12} substituted heterocycloalkyl, C_1 to C_{12} acyl, C_1 to C_{12} substituted acyl, phenylsulfonyl, substituted phenylsulfonyl, C_1 to C_{10} alkylsulfonyl, C_1 to C_{10} substituted alkylsulfonyl, C_1 to C_{12} alkylaminocarbonyl, C_1 to C_{12} substituted alkylaminocarbonyl, phenylaminocarbonyl, substituted phenylaminocarbonyl, C_1 to C_{12} alkylaminothiocarbonyl, C_1 to C_{12} substituted alkylaminothiocarbonyl, phenylaminothiocarbonyl and substituted phenylaminothiocarbonyl;

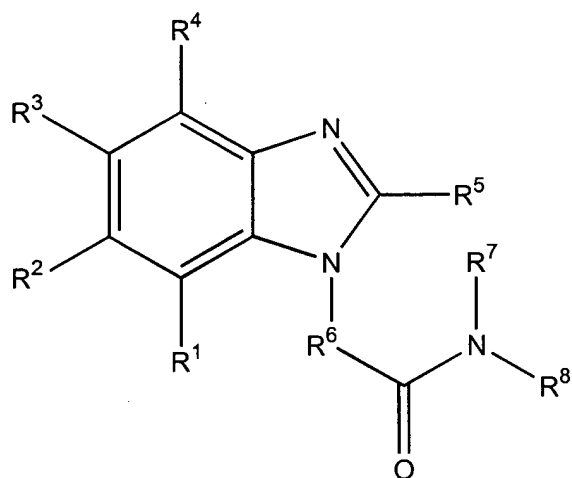
provided that, where R^6 is methylene, at least one of R^1 to R^4 must be the formula $-C(O)NR^{11}R^{12}$; or

provided that, where R^6 is methylene, at least one of R^1 to R^4 must be the formula $-C(O)R^{11}$, wherein R^{11} is a heterocyclic ring or substituted heterocyclic ring, wherein said ring contains at least one nitrogen atom and wherein said nitrogen atom is attached to the carbonyl carbon; or

a pharmaceutically acceptable salt of a compound thereof;

with the proviso that when R^7 and R^8 are hydrogen or $-CH_2CH_3$, substituents R^1 , R^2 , R^3 and R^4 cannot be hydrogen.

Claim 42 (previously presented): A single compound of the formula:



wherein:

R¹, R² and R⁴ are, independently, selected from the group consisting of a hydrogen atom, halo, hydroxy, protected hydroxy, cyano, C₁ to C₁₂ alkyl, C₂ to C₁₂ alkenyl, C₂ to C₁₂ alkynyl, C₁ to C₁₂ substituted alkyl, C₂ to C₁₂ substituted alkenyl, C₂ to C₁₂ substituted alkynyl, C₁ to C₁₂ alkoxy, C₁ to C₁₂ substituted alkoxy, C₁ to C₁₂ acyloxy, C₁ to C₁₂ acyl, C₃ to C₇ cycloalkyl, C₃ to C₇ substituted cycloalkyl, C₅ to C₇ cycloalkenyl, C₅ to C₇ substituted cycloalkenyl, heterocyclic ring, substituted heterocyclic ring, C₇ to C₁₈ phenylalkyl, C₇ to C₁₈ substituted phenylalkyl, C₁ to C₁₂ heterocycloalkyl, C₁ to C₁₂ substituted heterocycloalkyl, phenyl, substituted phenyl, naphthyl, substituted naphthyl, cyclic C₂ to C₇ alkylene, substituted cyclic C₂ to C₇ alkylene, cyclic C₂ to C₇ heteroalkylene, substituted cyclic C₂ to C₇ heteroalkylene, carboxy, protected carboxy, hydroxymethyl, protected hydroxymethyl, protected amino, (monosubstituted)amino, protected (monosubstituted)amino, (disubstituted)amino, C₁ to C₁₀ alkylamino, C₁ to C₁₀ substituted alkylamino, carboxamide, protected carboxamide, C₁ to C₁₀ alkylthio, C₁ to C₁₀ substituted alkylthio, C₁ to C₁₀ alkylsulfonyl, C₁ to C₁₀ substituted alkylsulfonyl, C₁ to C₁₀ alkylsulfoxide, C₁ to C₁₀ substituted alkylsulfoxide, phenylthio, substituted phenylthio, phenylsulfoxide, substituted phenylsulfoxide, phenylsulfonyl, substituted phenylsulfonyl and the group consisting of (i) the formula -C(O)NR¹¹R¹², (ii) the formula -C(O)R¹¹, (iii) the formula -NR¹¹R¹², (iv) the formula -SR¹¹, (v) the formula -OR¹¹ and (vi) the formula -C(O)OR¹¹,

wherein R^{11} and R^{12} are, independently, selected from the group consisting of a hydrogen atom, C_1 to C_{12} alkyl, C_1 to C_{12} substituted alkyl, C_2 to C_{12} alkenyl, C_2 to C_{12} substituted alkenyl, phenyl, substituted phenyl, naphthyl, substituted naphthyl, C_7 to C_{18} phenylalkyl, C_7 to C_{18} substituted phenylalkyl, C_1 to C_{12} heterocycloalkyl, C_1 to C_{12} substituted heterocycloalkyl, heteroaryl, substituted heteroaryl, heterocycle, substituted heterocycle, phenylsulfonyl, substituted phenylsulfonyl, C_1 to C_{10} alkylsulfonyl, C_1 to C_{10} substituted alkylsulfonyl, C_1 to C_{12} alkylaminocarbonyl, C_1 to C_{12} substituted alkylaminocarbonyl, phenylaminocarbonyl and substituted phenylaminocarbonyl;

R^3 is selected from the group consisting of hydroxy, protected hydroxy, cyano, C_2 to C_{12} alkenyl, C_2 to C_{12} alkynyl, C_1 to C_{12} substituted alkyl, C_2 to C_{12} substituted alkenyl, C_2 to C_{12} substituted alkynyl, C_1 to C_{12} alkoxy, C_1 to C_{12} substituted alkoxy, C_1 to C_{12} acyloxy, C_1 to C_{12} acyl, C_3 to C_7 cycloalkyl, C_3 to C_7 substituted cycloalkyl, C_5 to C_7 cycloalkenyl, C_5 to C_7 substituted cycloalkenyl, heterocyclic ring, substituted heterocyclic ring, C_7 to C_{18} phenylalkyl, C_7 to C_{18} substituted phenylalkyl, C_1 to C_{12} heterocycloalkyl, C_1 to C_{12} substituted heterocycloalkyl, phenyl, substituted phenyl, naphthyl, substituted naphthyl, cyclic C_2 to C_7 alkylene, substituted cyclic C_2 to C_7 alkylene, cyclic C_2 to C_7 heteroalkylene, substituted cyclic C_2 to C_7 heteroalkylene, carboxy, protected carboxy, hydroxymethyl, protected hydroxymethyl, protected amino, (monosubstituted)amino, protected (monosubstituted)amino, (disubstituted)amino, C_1 to C_{10} alkylamino, C_1 to C_{10} substituted alkylamino, carboxamide, protected carboxamide, C_1 to C_{10} alkylthio, C_1 to C_{10} substituted alkylthio, C_1 to C_{10} alkylsulfonyl, C_1 to C_{10} substituted alkylsulfonyl, C_1 to C_{10} alkylsulfoxide, C_1 to C_{10} substituted alkylsulfoxide, phenylthio, substituted phenylthio, phenylsulfoxide, substituted phenylsulfoxide, phenylsulfonyl, substituted phenylsulfonyl and the group consisting of (i) the formula $-C(O)NR^{11}R^{12}$, (ii) the formula $-C(O)R^{11}$, (iii) the formula $-NR^{11}R^{12}$, (iv) the formula $-SR^{11}$, (v) the formula $-OR^{11}$ and (vi) the formula $-C(O)OR^{11}$, wherein R^{11} and R^{12} are, independently, selected from the group consisting of a hydrogen atom, C_1 to C_{12} alkyl, C_1 to C_{12} substituted alkyl, C_2 to C_{12} alkenyl, C_2 to C_{12} substituted alkenyl, phenyl, substituted phenyl, naphthyl, substituted naphthyl, C_7 to C_{18} phenylalkyl, C_7 to C_{18} substituted phenylalkyl, C_1 to C_{12} heterocycloalkyl, C_1 to C_{12} substituted heterocycloalkyl, heteroaryl, substituted heteroaryl,

heterocycle, substituted heterocycle, phenylsulfonyl, substituted phenylsulfonyl, C₁ to C₁₀ alkylsulfonyl, C₁ to C₁₀ substituted alkylsulfonyl, C₁ to C₁₂ alkylaminocarbonyl, C₁ to C₁₂ substituted alkylaminocarbonyl, phenylaminocarbonyl and substituted phenylaminocarbonyl;

R⁵ is selected from the group consisting of a hydrogen atom, C₁ to C₁₂ alkyl, C₁ to C₁₂ substituted alkyl, phenyl, substituted phenyl, C₇ to C₁₈ phenylalkyl, C₇ to C₁₈ substituted phenylalkyl, C₁ to C₁₂ heterocycloalkyl, C₁ to C₁₂ substituted heterocycloalkyl, carboxy, protected carboxy, cyano, protected (monosubstituted)amino, (disubstituted)amino, C₁ to C₁₂ acyl, C₁ to C₁₂ substituted acyl, C₁ to C₁₂ alkoxycarbonyl, C₁ to C₁₂ substituted alkoxycarbonyl, heterocycle, substituted heterocycle, naphthyl, substituted naphthyl, C₃ to C₇ cycloalkyl, C₃ to C₇ substituted cycloalkyl, C₅ to C₇ cycloalkenyl and C₅ to C₇ substituted cycloalkenyl;

R⁶ is the formula:

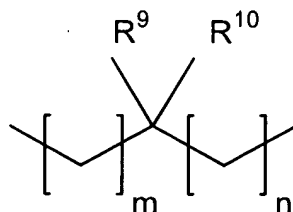


wherein:

zero, one or two of D, W and E can be absent;

W, if present, is selected from the group consisting of phenylene, substituted phenylene, C₃ to C₇ cycloalkylene, C₃ to C₇ substituted cycloalkylene, C₅ to C₇ cycloalkenylene, C₅ to C₇ substituted cycloalkenylene, arylene, substituted arylene, heterocyclene, substituted heterocyclene, heteroarylene and substituted heteroarylene; and

D, which is directly attached to the nitrogen depicted in the formula, if present and E, if present, are independently selected from the group consisting of C₁ to C₁₂ alkylene, C₂ to C₁₂ alkenylene, C₂ to C₁₂ alkynylene, C₁ to C₁₂ substituted alkylene, C₂ to C₁₂ substituted alkenylene, C₂ to C₁₂ substituted alkynylene, C₃ to C₇ cycloalkylene, C₃ to C₇ substituted cycloalkylene, C₅ to C₇ cycloalkenylene, C₅ to C₇ substituted cycloalkenylene, C₇ to C₁₈ phenylalkylene, C₇ to C₁₈ substituted phenylalkylene, C₁ to C₁₂ heterocycloalkylene and C₁ to C₁₂ substituted heterocycloalkylene, -NH- and the formula:



wherein R^9 and R^{10} are, independently, selected from the group consisting of a hydrogen atom, C_1 to C_{12} alkyl, C_2 to C_{12} alkenyl, C_2 to C_{12} alkynyl, C_1 to C_{12} substituted alkyl, C_2 to C_{12} substituted alkenyl, C_2 to C_{12} substituted alkynyl, C_1 to C_{12} acyl, C_1 to C_{12} substituted acyl, C_3 to C_7 cycloalkyl, C_3 to C_7 substituted cycloalkyl, C_5 to C_7 cycloalkenyl, C_5 to C_7 substituted cycloalkenyl, a heterocyclic ring, substituted heterocyclic ring, heteroaryl, substituted heteroaryl, C_7 to C_{18} phenylalkyl, C_7 to C_{18} substituted phenylalkyl, C_1 to C_{12} heterocycloalkyl, C_1 to C_{12} substituted heterocycloalkyl, C_7 to C_{18} phenylalkoxy, C_7 to C_{18} substituted phenylalkoxy, phenyl, substituted phenyl, naphthyl, substituted naphthyl, cyclic C_2 to C_7 alkylene, substituted cyclic C_2 to C_7 alkylene, cyclic C_2 to C_7 heteroalkylene, substituted cyclic C_2 to C_7 heteroalkylene, carboxy, protected carboxy, hydroxymethyl and protected hydroxymethyl; and m and n are, independently, 0, 1, 2, 3 or 4; and

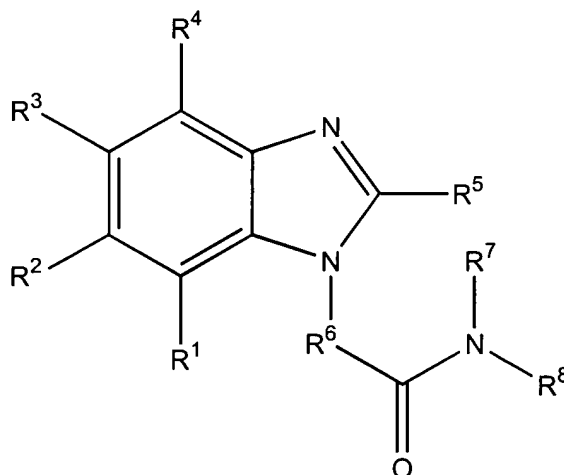
R^7 and R^8 are, independently, selected from the group consisting of a functionalized resin, a hydrogen atom, C_1 to C_{12} alkyl, C_1 to C_{12} substituted alkyl, phenyl, substituted phenyl, heterocycle, substituted heterocycle, C_3 to C_7 cycloalkyl, C_3 to C_7 substituted cycloalkyl, C_5 to C_7 cycloalkenyl, C_5 to C_7 substituted cycloalkenyl, C_2 to C_{12} alkenyl, C_2 to C_{12} substituted alkenyl, C_7 to C_{18} phenylalkyl, C_7 to C_{18} substituted phenylalkyl, C_1 to C_{12} heterocycloalkyl, [[and]] C_1 to C_{12} substituted heterocycloalkyl, C_1 to C_{12} acyl, C_1 to C_{12} substituted acyl, phenylsulfonyl, substituted phenylsulfonyl, C_1 to C_{10} alkylsulfonyl, C_1 to C_{10} substituted alkylsulfonyl, C_1 to C_{12} alkylaminocarbonyl, C_1 to C_{12} substituted alkylaminocarbonyl, phenylaminocarbonyl, substituted phenylaminocarbonyl, C_1 to C_{12} alkylaminothiocarbonyl, C_1 to C_{12} substituted alkylaminothiocarbonyl, phenylaminothiocarbonyl and substituted phenylaminothiocarbonyl; or

a pharmaceutically acceptable salt of a compound thereof;

with the proviso that when R^7 and R^8 are hydrogen or $-\text{CH}_2\text{CH}_3$, substituents R^1 , R^2 , R^3 and R^4 cannot be hydrogen.

Claim 43 (canceled).

Claim 44 (previously amended): A single compound of the formula:



wherein:

R^1 , R^2 , R^3 and R^4 are, independently, selected from the group consisting of a hydrogen atom, halo, hydroxy, protected hydroxy, cyano, C_1 to C_{12} alkyl, C_2 to C_{12} alkenyl, C_2 to C_{12} alkynyl, C_1 to C_{12} substituted alkyl, C_2 to C_{12} substituted alkenyl, C_2 to C_{12} substituted alkynyl, C_1 to C_{12} alkoxy, C_1 to C_{12} substituted alkoxy, C_1 to C_{12} acyloxy, C_1 to C_{12} acyl, C_3 to C_7 cycloalkyl, C_3 to C_7 substituted cycloalkyl, C_5 to C_7 cycloalkenyl, C_5 to C_7 substituted cycloalkenyl, heterocyclic ring, substituted heterocyclic ring, C_7 to C_{18} phenylalkyl, C_7 to C_{18} substituted phenylalkyl, C_1 to C_{12} heterocycloalkyl, C_1 to C_{12} substituted heterocycloalkyl, phenyl, substituted phenyl, naphthyl, substituted naphthyl, cyclic C_2 to C_7 alkylene, substituted cyclic C_2 to C_7 alkylene, cyclic C_2 to C_7 heteroalkylene, substituted cyclic C_2 to C_7 heteroalkylene, carboxy, protected carboxy, hydroxymethyl, protected hydroxymethyl, protected amino, (monosubstituted)amino, protected (monosubstituted)amino, (disubstituted)amino, C_1 to

C₁₀ alkylamino, C₁ to C₁₀ substituted alkylamino, carboxamide, protected carboxamide, C₁ to C₁₀ alkylthio, C₁ to C₁₀ substituted alkylthio, C₁ to C₁₀ alkylsulfonyl, C₁ to C₁₀ substituted alkylsulfonyl, C₁ to C₁₀ alkylsulfoxide, C₁ to C₁₀ substituted alkylsulfoxide, phenylthio, substituted phenylthio, phenylsulfoxide, substituted phenylsulfoxide, phenylsulfonyl, substituted phenylsulfonyl and the group consisting of (i) the formula $-C(O)NR^{11}R^{12}$, (ii) the formula $-C(O)R^{11}$, (iii) the formula $-NR^{11}R^{12}$, (iv) the formula $-SR^{11}$, (v) the formula $-OR^{11}$ and (vi) the formula $-C(O)OR^{11}$, wherein R¹¹ and R¹² are, independently, selected from the group consisting of a hydrogen atom, C₁ to C₁₂ alkyl, C₁ to C₁₂ substituted alkyl, C₂ to C₁₂ alkenyl, C₂ to C₁₂ substituted alkenyl, phenyl, substituted phenyl, naphthyl, substituted naphthyl, C₇ to C₁₈ phenylalkyl, C₇ to C₁₈ substituted phenylalkyl, C₁ to C₁₂ heterocycloalkyl, C₁ to C₁₂ substituted heterocycloalkyl, heteroaryl, substituted heteroaryl, heterocycle, substituted heterocycle, phenylsulfonyl, substituted phenylsulfonyl, C₁ to C₁₀ alkylsulfonyl, C₁ to C₁₀ substituted alkylsulfonyl, C₁ to C₁₂ alkylaminocarbonyl, C₁ to C₁₂ substituted alkylaminocarbonyl, phenylaminocarbonyl and substituted phenylaminocarbonyl;

R⁵ is selected from the group consisting of phenyl, substituted phenyl, C₇ to C₁₈ phenylalkyl, C₇ to C₁₈ substituted phenylalkyl, C₁ to C₁₂ heterocycloalkyl, C₁ to C₁₂ substituted heterocycloalkyl, carboxy, protected carboxy, protected (monosubstituted)amino, (disubstituted)amino, C₁ to C₁₂ substituted acyl, C₁ to C₁₂ alkoxycarbonyl, C₁ to C₁₂ substituted alkoxycarbonyl, heterocycle, substituted heterocycle, naphthyl, substituted naphthyl, C₃ to C₇ cycloalkyl, C₃ to C₇ substituted cycloalkyl, C₅ to C₇ cycloalkenyl and C₅ to C₇ substituted cycloalkenyl;

R⁶ is the formula:

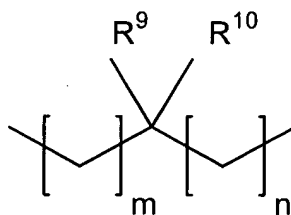
-D-W-E-

wherein:

zero, one or two of D, W, and E can be absent;

W, if present, is selected from the group consisting of phenylene, substituted phenylene, C₃ to C₇ cycloalkylene, C₃ to C₇ substituted cycloalkylene, C₅ to C₇ cycloalkenylene, C₅ to C₇ substituted cycloalkenylene, arylene, substituted arylene, heterocyclene, substituted heterocyclene, heteroarylene and substituted heteroarylene; and

D, which is directly attached to the nitrogen depicted in the formula, if present, and E, if present, are independently selected from the group consisting of C₁ to C₁₂ alkylene, C₂ to C₁₂ alkenylene, C₂ to C₁₂ alkynylene, C₁ to C₁₂ substituted alkylene, C₂ to C₁₂ substituted alkenylene, C₂ to C₁₂ substituted alkynylene, C₃ to C₇ cycloalkylene, C₃ to C₇ substituted cycloalkylene, C₅ to C₇ cycloalkenylene, C₅ to C₇ substituted cycloalkenylene, C₇ to C₁₈ phenylalkylene, C₇ to C₁₈ substituted phenylalkylene, C₁ to C₁₂ heterocycloalkylene and C₁ to C₁₂ substituted heterocycloalkylene, -NH- and the formula:



wherein R⁹ and R¹⁰ are, independently, selected from the group consisting of a hydrogen atom, C₁ to C₁₂ alkyl, C₂ to C₁₂ alkenyl, C₂ to C₁₂ alkynyl, C₁ to C₁₂ substituted alkyl, C₂ to C₁₂ substituted alkenyl, C₂ to C₁₂ substituted alkynyl, C₁ to C₁₂ acyl, C₁ to C₁₂ substituted acyl, C₃ to C₇ cycloalkyl, C₃ to C₇ substituted cycloalkyl, C₅ to C₇ cycloalkenyl, C₅ to C₇ substituted cycloalkenyl, a heterocyclic ring, substituted heterocyclic ring, heteroaryl, substituted heteroaryl, C₇ to C₁₈ phenylalkyl, C₇ to C₁₈ substituted phenylalkyl, C₁ to C₁₂ heterocycloalkyl, C₁ to C₁₂ substituted heterocycloalkyl, C₇ to C₁₈ phenylalkoxy, C₇ to C₁₈ substituted phenylalkoxy, phenyl, substituted phenyl, naphthyl, substituted naphthyl, cyclic C₂ to C₇ alkylene, substituted cyclic C₂ to C₇ alkylene, cyclic C₂ to C₇ heteroalkylene, substituted cyclic C₂ to C₇

heteroalkylene, carboxy, protected carboxy, hydroxymethyl and protected hydroxymethyl; and m and n are, independently, 0, 1, 2, 3 or 4; and R⁷ and R⁸ are, independently, selected from the group consisting of a functionalized resin, a hydrogen atom, C₁ to C₁₂ alkyl, C₁ to C₁₂ substituted alkyl, phenyl, substituted phenyl, heterocycle, substituted heterocycle, C₃ to C₇ cycloalkyl, C₃ to C₇ substituted cycloalkyl, C₅ to C₇ cycloalkenyl, C₅ to C₇ substituted cycloalkenyl, C₂ to C₁₂ alkenyl, C₂ to C₁₂ substituted alkenyl, C₇ to C₁₈ phenylalkyl, C₇ to C₁₈ substituted phenylalkyl, C₁ to C₁₂ heterocycloalkyl, [[and]] C₁ to C₁₂ substituted heterocycloalkyl, C₁ to C₁₂ acyl, C₁ to C₁₂ substituted acyl, phenylsulfonyl, substituted phenylsulfonyl, C₁ to C₁₀ alkylsulfonyl, C₁ to C₁₀ substituted alkylsulfonyl, C₁ to C₁₂ alkylaminocarbonyl, C₁ to C₁₂ substituted alkylaminocarbonyl, phenylaminocarbonyl, substituted phenylaminocarbonyl, C₁ to C₁₂ alkylaminothiocarbonyl, C₁ to C₁₂ substituted alkylaminothiocarbonyl, phenylaminothiocarbonyl and substituted phenylaminothiocarbonyl; or

a pharmaceutically acceptable salt of a compound thereof;

with the proviso that when R⁷ and R⁸ are hydrogen or -CH₂CH₃, substituents R¹, R², R³ and R⁴ cannot be hydrogen.

Claim 45 (canceled).

Claim 46 (previously added): The single compound of claim 44, wherein:

R¹, R² and R⁴ are each a hydrogen atom and R³ is the formula -C(O)NR¹¹R¹², wherein R¹¹ is selected from the group consisting of a hydrogen atom, methyl, ethyl and benzyl and R¹² is selected from the group consisting of a hydrogen atom, 2-(2-methoxyphenyl)ethyl, (1-ethyl-2-pyrrolidino)methyl, pyridin-2-ylmethyl, 2-methyl-5-chlorophenyl, (2-(pyridin-2-yl)ethyl), 1-ethyl-2-pyrrolidinylmethyl, 3,3,5-trimethylcyclohexyl, 3,4-methylenedioxyphenyl, 3-(trifluoromethyl)benzyl, pyridin-4-ylmethyl, 6-indazolyl, 2-(ethoxycarbonyl)ethyl, cyclooctyl, cyclopropyl, benzyl, N,N-(diethylamino)ethyl, 3-(2-oxo-1-pyrrolidine)propyl, 3-(4-morpholino)propyl, (ethoxycarbonyl)methyl and cyclohexyl;

R⁵ is selected from the group consisting of phenoxyphenyl, 4-hydroxy-3-methoxyphenyl, 3,4,5-trimethoxyphenyl, 3-hydroxy-4-methoxyphenyl, 4-acetamidophenyl, 4-phenoxyphenyl, 4-methoxyl-1-naphthyl, 4-bromo-2-thienyl, 4-pyridyl, isopropyl, 2-methylthioethyl, 4-chloro-3-nitrophenyl, 3-nitrophenyl, 4-t-butylphenyl, 2,3-dichlorophenyl, 3,5-bis(trifluoromethyl)phenyl, 2,5-difluorophenyl, 2-quinolyl, 2-chloro-3,4-dimethoxyphenyl, 5-methyl-2-furyl, 4-chloro-3-fluorophenyl, 2-phenyl-4-imidazolyl, 2-(ethoxycarbonyl)cyclopropyl, 5-nitro-2-furyl, 4-bromophenyl, cyclopropyl, 2-norbornen-5-yl, 6-nitropiperonyl, 2-chloro-5-nitrophenyl, 5-hydroxy-2-nitrophenyl, 3-hydroxyphenyl, 3,4-difluorophenyl, 4-dimethylaminophenyl, 4-methylthiophenyl, 4-(trifluoromethyl)phenyl, 2-thienyl, 2,3-dimethoxyphenyl, 3-ethoxy-4-hydroxyphenyl, 4-cyanophenyl, 3-cyanophenyl, 2-furyl, 4-nitrophenyl, 1-naphthyl, 2-methoxyphenyl, 4-isopropylphenyl, piperonyl, 2-fluorophenyl, 4-ethoxyphenyl and 2,4-dihydroxyphenyl;

R⁶ is selected from the group consisting of methylene, ethylidene, ethylene, propylene, pentylene, isopentylidene, 3-aminocarbonylbutylidene, 2-methylthiopropylidene, isobutylidene, phenylmethylene, benzylmethylene, cyclohexylethylidene, 4-chlorobenzylmethylene, indol-3-ylethylidene, 4-trifluoroacetamidopentylidene, 3-guanidobutylidene, hydroxyethylidene, 2-aminocarbonylpropylidene, isopentylidene, mercaptoethylidene, 4-hydroxybenzylmethylene, 1,3-phenylene, 1,4-phenylene, 1,4-(phenylene)-NH-, 3,6-dioxaoctylene-NH-, -CH₂CH₂NH- and 1,4-(cyclohexylene)-NH-; and

R⁷ and R⁸ are each a hydrogen atom.

Claim 47 (previously added): The single compound of claim 44, wherein:

R¹, R² and R⁴ are each a hydrogen atom and R³ is the formula -C(O)R¹¹, wherein R¹¹ is selected from the group consisting of 1,3,3-trimethyl-6-aza-6-bicyclo(3,2,1)octyl, 4-(4-fluorophenyl)-1-piperazino, 4-acetyl-1-piperazino, piperazino, 2-methyl-4-(3-methylphenyl)-1-piperazino, 4-(ethoxycarbonyl)piperidino, N-methylhomopiperazino and N,N'-diisopropylimidamino;

R⁵ is selected from the group consisting of phenoxyphenyl,

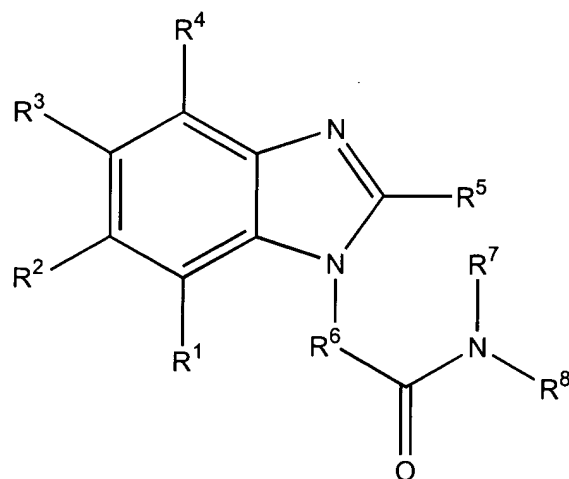
4-hydroxy-3-methoxyphenyl, 3,4,5-trimethoxyphenyl, 3-hydroxy-4-methoxyphenyl, 4-acetamidophenyl, 4-phenoxyphenyl, 4-methoxyl-1-naphthyl, 4-bromo-2-thienyl, 4-pyridyl, isopropyl, 2-methylthioethyl, 4-chloro-3-nitrophenyl, 3-nitrophenyl, 4-t-butylphenyl, 2,3-dichlorophenyl, 3,5-bis(trifluoromethyl)phenyl, 2,5-difluorophenyl, 2-quinolyl, 2-chloro-3,4-dimethoxyphenyl, 5-methyl-2-furyl, 4-chloro-3-fluorophenyl, 2-phenyl-4-imidazolyl, 2-(ethoxycarbonyl)cyclopropyl, 5-nitro-2-furyl, 4-bromophenyl, cyclopropyl, 2-norbornen-5-yl, 6-nitropiperonyl, 2-chloro-5-nitrophenyl, 5-hydroxy-2-nitrophenyl, 3-hydroxyphenyl, 3,4-difluorophenyl, 4-dimethylaminophenyl, 4-methylthiophenyl, 4-(trifluoromethyl)phenyl, 2-thienyl, 2,3-dimethoxyphenyl, 3-ethoxy-4-hydroxyphenyl, 4-cyanophenyl, 3-cyanophenyl, 2-furyl, 4-nitrophenyl, 1-naphthyl, 2-methoxyphenyl, 4-isopropylphenyl, piperonyl, 2-fluorophenyl, 4-ethoxyphenyl and 2,4-dihydroxyphenyl;

R⁶ is selected from the group consisting of methylene, ethylidene, ethylene, propylene, pentylene, isopentylidene, 3-aminocarbonylbutylidene, 2-methylthiopropylidene, isobutylidene, phenylmethylene, benzylmethylene, cyclohexylethylidene, 4-chlorobenzylmethylene, indol-3-ylethylidene, 4-trifluoroacetamidopentylidene, 3-guanidobutylidene, hydroxyethylidene, 2-aminocarbonylpropylidene, isopentylidene, mercaptoethylidene, 4-hydroxybenzylmethylene, 1,3-phenylene, 1,4-phenylene, 1,4-(phenylene)-NH-, 3,6-dioxaoctylene-NH-, -CH₂CH₂NH- and 1,4-(cyclohexylene)-NH-;and

R⁷ and R⁸ are each a hydrogen atom.

Claim 48 (canceled).

Claim 49 (previously added): A single compound of the formula:



wherein:

R¹, R² and R⁴ are, independently, selected from the group consisting of a hydrogen atom, halo, hydroxy, protected hydroxy, cyano, C₁ to C₁₂ alkyl, C₂ to C₁₂ alkenyl, C₂ to C₁₂ alkynyl, C₁ to C₁₂ substituted alkyl, C₂ to C₁₂ substituted alkenyl, C₂ to C₁₂ substituted alkynyl, C₁ to C₁₂ alkoxy, C₁ to C₁₂ substituted alkoxy, C₁ to C₁₂ acyloxy, C₁ to C₁₂ acyl, C₃ to C₇ cycloalkyl, C₃ to C₇ substituted cycloalkyl, C₅ to C₇ cycloalkenyl, C₅ to C₇ substituted cycloalkenyl, heterocyclic ring, substituted heterocyclic ring, C₇ to C₁₈ phenylalkyl, C₇ to C₁₈ substituted phenylalkyl, C₁ to C₁₂ heterocycloalkyl, C₁ to C₁₂ substituted heterocycloalkyl, phenyl, substituted phenyl, naphthyl, substituted naphthyl, cyclic C₂ to C₇ alkylene, substituted cyclic C₂ to C₇ alkylene, cyclic C₂ to C₇ heteroalkylene, substituted cyclic C₂ to C₇ heteroalkylene, carboxy, protected carboxy, hydroxymethyl, protected hydroxymethyl, protected amino, (monosubstituted)amino, protected (monosubstituted)amino, (disubstituted)amino, C₁ to C₁₀ alkylamino, C₁ to C₁₀ substituted alkylamino, carboxamide, protected carboxamide, C₁ to C₁₀ alkylthio, C₁ to C₁₀ substituted alkylthio, C₁ to C₁₀ alkylsulfonyl, C₁ to C₁₀ substituted alkylsulfonyl, C₁ to C₁₀ alkylsulfoxide, C₁ to C₁₀ substituted alkylsulfoxide, phenylthio, substituted phenylthio, phenylsulfoxide, substituted phenylsulfoxide, phenylsulfonyl, substituted phenylsulfonyl and the group consisting of (i) the formula -C(O)NR¹¹R¹², (ii) the formula -C(O)R¹¹, (iii) the formula

$-NR^{11}R^{12}$, (iv) the formula $-SR^{11}$, (v) the formula $-OR^{11}$ and (vi) the formula $-C(O)OR^{11}$, wherein R^{11} and R^{12} are, independently, selected from the group consisting of a hydrogen atom, C_1 to C_{12} alkyl, C_1 to C_{12} substituted alkyl, C_2 to C_{12} alkenyl, C_2 to C_{12} substituted alkenyl, phenyl, substituted phenyl, naphthyl, substituted naphthyl, C_7 to C_{18} phenylalkyl, C_7 to C_{18} substituted phenylalkyl, C_1 to C_{12} heterocycloalkyl, C_1 to C_{12} substituted heterocycloalkyl, heteroaryl, substituted heteroaryl, heterocycle, substituted heterocycle, phenylsulfonyl, substituted phenylsulfonyl, C_1 to C_{10} alkylsulfonyl, C_1 to C_{10} substituted alkylsulfonyl, C_1 to C_{12} alkylaminocarbonyl, C_1 to C_{12} substituted alkylaminocarbonyl, phenylaminocarbonyl and substituted phenylaminocarbonyl;

R^3 is selected from the group consisting of hydroxy, protected hydroxy, cyano, C_2 to C_{12} alkenyl, C_2 to C_{12} alkynyl, C_1 to C_{12} substituted alkyl, C_2 to C_{12} substituted alkenyl, C_2 to C_{12} substituted alkynyl, C_1 to C_{12} alkoxy, C_1 to C_{12} substituted alkoxy, C_1 to C_{12} acyloxy, C_1 to C_{12} acyl, C_3 to C_7 cycloalkyl, C_3 to C_7 substituted cycloalkyl, C_5 to C_7 cycloalkenyl, C_5 to C_7 substituted cycloalkenyl, heterocyclic ring, substituted heterocyclic ring, C_7 to C_{18} phenylalkyl, C_7 to C_{18} substituted phenylalkyl, C_1 to C_{12} heterocycloalkyl, C_1 to C_{12} substituted heterocycloalkyl, phenyl, substituted phenyl, naphthyl, substituted naphthyl, cyclic C_2 to C_7 alkylene, substituted cyclic C_2 to C_7 alkylene, cyclic C_2 to C_7 heteroalkylene, substituted cyclic C_2 to C_7 heteroalkylene, carboxy, protected carboxy, hydroxymethyl, protected hydroxymethyl, protected amino, (monosubstituted)amino, protected (monosubstituted)amino, (disubstituted)amino, C_1 to C_{10} alkylamino, C_1 to C_{10} substituted alkylamino, carboxamide, protected carboxamide, C_1 to C_{10} alkylthio, C_1 to C_{10} substituted alkylthio, C_1 to C_{10} alkylsulfonyl, C_1 to C_{10} substituted alkylsulfonyl, C_1 to C_{10} alkylsulfoxide, C_1 to C_{10} substituted alkylsulfoxide, phenylthio, substituted phenylthio, phenylsulfoxide, substituted phenylsulfoxide, phenylsulfonyl, substituted phenylsulfonyl and the group consisting of (i) the formula $-C(O)NR^{11}R^{12}$, (ii) the formula $-C(O)R^{11}$, (iii) the formula $-NR^{11}R^{12}$, (iv) the formula $-SR^{11}$, (v) the formula $-OR^{11}$ and (vi) the formula $-C(O)OR^{11}$, wherein R^{11} and R^{12} are, independently, selected from the group consisting of a hydrogen atom, C_1 to C_{12} alkyl, C_1 to C_{12} substituted alkyl, C_2 to C_{12} alkenyl, C_2 to C_{12} substituted alkenyl, phenyl, substituted phenyl, naphthyl, substituted naphthyl, C_7 to C_{18} phenylalkyl, C_7 to C_{18} substituted phenylalkyl, C_1 to C_{12}

heterocycloalkyl, C₁ to C₁₂ substituted heterocycloalkyl, heteroaryl, substituted heteroaryl, heterocycle, substituted heterocycle, phenylsulfonyl, substituted phenylsulfonyl, C₁ to C₁₀ alkylsulfonyl, C₁ to C₁₀ substituted alkylsulfonyl, C₁ to C₁₂ alkylaminocarbonyl, C₁ to C₁₂ substituted alkylaminocarbonyl, phenylaminocarbonyl and substituted phenylaminocarbonyl;

R⁵ is selected from the group consisting of a hydrogen atom, C₁ to C₁₂ alkyl, C₁ to C₁₂ substituted alkyl, phenyl, substituted phenyl, C₇ to C₁₈ phenylalkyl, C₇ to C₁₈ substituted phenylalkyl, C₁ to C₁₂ heterocycloalkyl, C₁ to C₁₂ substituted heterocycloalkyl, carboxy, protected carboxy, cyano, protected (monosubstituted)amino, (disubstituted)amino, C₁ to C₁₂ acyl, C₁ to C₁₂ substituted acyl, C₁ to C₁₂ alkoxycarbonyl, C₁ to C₁₂ substituted alkoxycarbonyl, heterocycle, substituted heterocycle, naphthyl, substituted naphthyl, C₃ to C₇ cycloalkyl, C₃ to C₇ substituted cycloalkyl, C₅ to C₇ cycloalkenyl and C₅ to C₇ substituted cycloalkenyl;

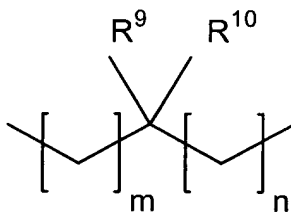
R⁶ is the formula:



wherein:

W is absent or selected from the group consisting of phenylene, substituted phenylene, C₃ to C₇ cycloalkylene, C₃ to C₇ substituted cycloalkylene, C₅ to C₇ cycloalkenylene, C₅ to C₇ substituted cycloalkenylene, arylene, substituted arylene, heterocyclene, substituted heterocyclene, heteroarylene and substituted heteroarylene; and

D, which is directly attached to the nitrogen depicted in the formula, and E, which can be absent, are independently selected from the group consisting of C₁ to C₁₂ alkylene, C₂ to C₁₂ alkenylene, C₂ to C₁₂ alkynylene, C₁ to C₁₂ substituted alkylene, C₂ to C₁₂ substituted alkenylene, C₂ to C₁₂ substituted alkynylene, C₃ to C₇ cycloalkylene, C₃ to C₇ substituted cycloalkylene, C₅ to C₇ cycloalkenylene, C₅ to C₇ substituted cycloalkenylene, C₇ to C₁₈ phenylalkylene, C₇ to C₁₈ substituted phenylalkylene, C₁ to C₁₂ heterocycloalkylene and C₁ to C₁₂ substituted heterocycloalkylene, -NH- and the formula:



wherein R^9 and R^{10} are, independently, selected from the group consisting of a hydrogen atom, C_1 to C_{12} alkyl, C_2 to C_{12} alkenyl, C_2 to C_{12} alkynyl, C_1 to C_{12} substituted alkyl, C_2 to C_{12} substituted alkenyl, C_2 to C_{12} substituted alkynyl, C_1 to C_{12} acyl, C_1 to C_{12} substituted acyl, C_3 to C_7 cycloalkyl, C_3 to C_7 substituted cycloalkyl, C_5 to C_7 cycloalkenyl, C_5 to C_7 substituted cycloalkenyl, a heterocyclic ring, substituted heterocyclic ring, heteroaryl, substituted heteroaryl, C_7 to C_{18} phenylalkyl, C_7 to C_{18} substituted phenylalkyl, C_1 to C_{12} heterocycloalkyl, C_1 to C_{12} substituted heterocycloalkyl, C_7 to C_{18} phenylalkoxy, C_7 to C_{18} substituted phenylalkoxy, phenyl, substituted phenyl, naphthyl, substituted naphthyl, cyclic C_2 to C_7 alkylene, substituted cyclic C_2 to C_7 alkylene, cyclic C_2 to C_7 heteroalkylene, substituted cyclic C_2 to C_7 heteroalkylene, carboxy, protected carboxy, hydroxymethyl and protected hydroxymethyl; and m and n are, independently, 0, 1, 2, 3 or 4; and

R^7 and R^8 are, independently, selected from the group consisting of a functionalized resin, a hydrogen atom, C_1 to C_{12} alkyl, C_1 to C_{12} substituted alkyl, phenyl, substituted phenyl, heterocycle, substituted heterocycle, C_3 to C_7 cycloalkyl, C_3 to C_7 substituted cycloalkyl, C_5 to C_7 cycloalkenyl, C_5 to C_7 substituted cycloalkenyl, C_2 to C_{12} alkenyl, C_2 to C_{12} substituted alkenyl, C_7 to C_{18} phenylalkyl, C_7 to C_{18} substituted phenylalkyl, C_1 to C_{12} heterocycloalkyl, [[and]] C_1 to C_{12} substituted heterocycloalkyl, C_1 to C_{12} acyl, C_1 to C_{12} substituted acyl, phenylsulfonyl, substituted phenylsulfonyl, C_1 to C_{10} alkylsulfonyl, C_1 to C_{10} substituted alkylsulfonyl, C_1 to C_{12} alkylaminocarbonyl, C_1 to C_{12} substituted alkylaminocarbonyl, phenylaminocarbonyl, substituted phenylaminocarbonyl, C_1 to C_{12} alkylaminothiocarbonyl, C_1 to C_{12} substituted alkylaminothiocarbonyl, phenylaminothiocarbonyl and substituted phenylaminothiocarbonyl;

provided that, where R^6 is methylene, at least one of R^1 to R^4 must be the formula - $C(O)NR^{11}R^{12}$; or

provided that, where R^6 is methylene, at least one of R^1 to R^4 must be the formula - $C(O)R^{11}$, wherein R^{11} is a heterocyclic ring or substituted heterocyclic ring, wherein said ring

contains at least one nitrogen atom and wherein said nitrogen atom is attached to the carbonyl carbon; or

a pharmaceutically acceptable salt of a compound thereof;

with the proviso that when R^7 and R^8 are hydrogen or $-\text{CH}_2\text{CH}_3$, substituents R^1 , R^2 , R^3 and R^4 cannot be hydrogen.

Claim 50 (previously added): The single compound of claim 49, wherein R^5 is selected from the group consisting of a hydrogen atom, C_1 to C_{12} alkyl, C_1 to C_{12} substituted alkyl, phenyl, substituted phenyl, C_7 to C_{18} phenylalkyl, C_7 to C_{18} substituted phenylalkyl, C_1 to C_{12} heterocycloalkyl, C_1 to C_{12} substituted heterocycloalkyl, heterocycle, substituted heterocycle, C_3 to C_7 cycloalkyl and C_3 to C_7 substituted cycloalkyl.

Claim 51 (currently amended): The single compound of claim 49, wherein:

R^1 , R^2 and $[R^3] R^4$ are, independently, selected from the group consisting of a hydrogen atom, halo, C_1 to C_{12} alkyl, C_1 to C_{12} substituted alkyl, carboxy, and the group consisting of (i) the formula $-\text{C}(\text{O})\text{NR}^{11}\text{R}^{12}$ and (ii) the formula $-\text{C}(\text{O})\text{R}^{11}$, wherein R^{11} and R^{12} are, independently, selected from the group consisting of a hydrogen atom, C_1 to C_{12} alkyl, C_1 to C_{12} substituted alkyl, C_2 to C_{12} alkenyl, C_2 to C_{12} substituted alkenyl, C_7 to C_{18} phenylalkyl, C_7 to C_{18} substituted phenylalkyl, C_1 to C_{12} heterocycloalkyl, C_1 to C_{12} substituted heterocycloalkyl, heteroaryl, substituted heteroaryl, heterocycle and substituted heterocycle;

R^3 is selected from the group consisting of a C_1 to C_{12} substituted alkyl, carboxy, and the group consisting of (i) the formula $-\text{C}(\text{O})\text{NR}^{11}\text{R}^{12}$ and (ii) the formula $-\text{C}(\text{O})\text{R}^{11}$, wherein R^{11} and R^{12} are, independently, selected from the group consisting of a hydrogen atom, C_1 to C_{12} alkyl, C_1 to C_{12} substituted alkyl, C_2 to C_{12} alkenyl, C_2 to C_{12} substituted alkenyl, C_7 to C_{18} phenylalkyl, C_7 to C_{18} substituted phenylalkyl, C_1 to C_{12} heterocycloalkyl, C_1 to C_{12} substituted heterocycloalkyl, heteroaryl, substituted heteroaryl, heterocycle and substituted heterocycle;

R^5 is selected from the group consisting of a hydrogen atom, C_1 to C_{12} alkyl, C_1 to C_{12} substituted alkyl, phenyl, substituted phenyl, C_7 to C_{18} phenylalkyl, C_7 to C_{18} substituted

phenylalkyl, C₁ to C₁₂ heterocycloalkyl, C₁ to C₁₂ substituted heterocycloalkyl, heterocycle, substituted heterocycle, C₃ to C₇ cycloalkyl and C₃ to C₇ substituted cycloalkyl;

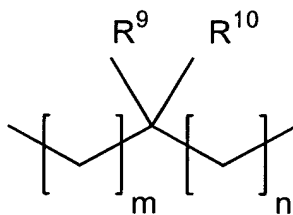
R⁶ is the formula:



wherein:

W is absent or selected from the group consisting of phenylene, substituted phenylene, C₃ to C₇ cycloalkylene and C₃ to C₇ substituted cycloalkylene; and

D, which is directly attached to the nitrogen depicted in the formula, and E, which can be absent, are, independently, selected from the group consisting of C₁ to C₁₂ alkylene, C₁ to C₁₂ substituted alkylene, -NH- and the formula:



wherein R⁹ and R¹⁰ are, independently, selected from the group consisting of a hydrogen atom, C₁ to C₁₂ alkyl, C₁ to C₁₂ substituted alkyl, C₃ to C₇ cycloalkyl, C₃ to C₇ substituted cycloalkyl, C₇ to C₁₈ phenylalkyl, C₇ to C₁₈ substituted phenylalkyl, phenyl, substituted phenyl; and m and n are independently 0, 1 or 2; and

R⁷ and R⁸ are each a hydrogen atom.

Claim 52 (previously added): The single compound of claim 49, wherein R⁶ is methylene, R¹, R² and R⁴ are each a hydrogen atom and R³ is the formula -C(O)NR¹¹R¹².

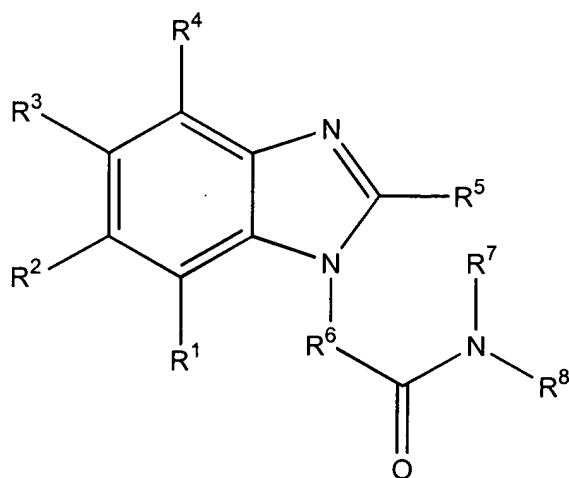
Claim 53 (previously added): The single compound of claim 49, wherein R⁶ is methylene, R¹, R² and R⁴ are each a hydrogen atom and R³ is the formula -C(O)R¹¹, wherein R¹¹

is a heterocyclic ring or substituted heterocyclic ring, wherein said ring contains at least one nitrogen atom and wherein said nitrogen atom is attached to the carbonyl carbon.

Claim 54 (previously added): The single compound of claim 49, wherein R^6 is not methylene.

Claim 55 (previously added): The single compound of claim 49, wherein R^3 is selected from (i) the formula $-C(O)NR^{11}R^{12}$ and (ii) the formula $-C(O)R^{11}$, wherein R^{11} and R^{12} are, independently, selected from the group consisting of a hydrogen atom, C_1 to C_{12} alkyl, C_1 to C_{12} substituted alkyl, C_2 to C_{12} alkenyl, C_2 to C_{12} substituted alkenyl, phenyl, substituted phenyl, naphthyl, substituted naphthyl, C_7 to C_{18} phenylalkyl, C_7 to C_{18} substituted phenylalkyl, C_1 to C_{12} heterocycloalkyl, C_1 to C_{12} substituted heterocycloalkyl, heteroaryl, substituted heteroaryl, heterocycle, substituted heterocycle, phenylsulfonyl, substituted phenylsulfonyl, C_1 to C_{10} alkylsulfonyl, C_1 to C_{10} substituted alkylsulfonyl, C_1 to C_{12} alkylaminocarbonyl, C_1 to C_{12} substituted alkylaminocarbonyl, phenylaminocarbonyl and substituted phenylaminocarbonyl.

Claim 56 (previously added): A single compound of the formula:



wherein:

R^1 , R^2 , R^3 and R^4 are, independently, selected from the group consisting of a hydrogen atom, halo, hydroxy, protected hydroxy, cyano, C_1 to C_{12} alkyl, C_2 to C_{12} alkenyl, C_2 to C_{12}

alkynyl, C₁ to C₁₂ substituted alkyl, C₂ to C₁₂ substituted alkenyl, C₂ to C₁₂ substituted alkynyl, C₁ to C₁₂ alkoxy, C₁ to C₁₂ substituted alkoxy, C₁ to C₁₂ acyloxy, C₁ to C₁₂ acyl, C₃ to C₇ cycloalkyl, C₃ to C₇ substituted cycloalkyl, C₅ to C₇ cycloalkenyl, C₅ to C₇ substituted cycloalkenyl, heterocyclic ring, substituted heterocyclic ring, C₇ to C₁₈ phenylalkyl, C₇ to C₁₈ substituted phenylalkyl, C₁ to C₁₂ heterocycloalkyl, C₁ to C₁₂ substituted heterocycloalkyl, phenyl, substituted phenyl, naphthyl, substituted naphthyl, cyclic C₂ to C₇ alkylene, substituted cyclic C₂ to C₇ alkylene, cyclic C₂ to C₇ heteroalkylene, substituted cyclic C₂ to C₇ heteroalkylene, carboxy, protected carboxy, hydroxymethyl, protected hydroxymethyl, protected amino, (monosubstituted)amino, protected (monosubstituted)amino, (disubstituted)amino, C₁ to C₁₀ alkylamino, C₁ to C₁₀ substituted alkylamino, carboxamide, protected carboxamide, C₁ to C₁₀ alkylthio, C₁ to C₁₀ substituted alkylthio, C₁ to C₁₀ alkylsulfonyl, C₁ to C₁₀ substituted alkylsulfonyl, C₁ to C₁₀ alkylsulfoxide, C₁ to C₁₀ substituted alkylsulfoxide, phenylthio, substituted phenylthio, phenylsulfoxide, substituted phenylsulfoxide, phenylsulfonyl, substituted phenylsulfonyl and the group consisting of (i) the formula $-C(O)NR^{11}R^{12}$, (ii) the formula $-C(O)R^{11}$, (iii) the formula $-NR^{11}R^{12}$, (iv) the formula $-SR^{11}$, (v) the formula $-OR^{11}$ and (vi) the formula $-C(O)OR^{11}$, wherein R¹¹ and R¹² are, independently, selected from the group consisting of a hydrogen atom, C₁ to C₁₂ alkyl, C₁ to C₁₂ substituted alkyl, C₂ to C₁₂ alkenyl, C₂ to C₁₂ substituted alkenyl, phenyl, substituted phenyl, naphthyl, substituted naphthyl, C₇ to C₁₈ phenylalkyl, C₇ to C₁₈ substituted phenylalkyl, C₁ to C₁₂ heterocycloalkyl, C₁ to C₁₂ substituted heterocycloalkyl, heteroaryl, substituted heteroaryl, heterocycle, substituted heterocycle, phenylsulfonyl, substituted phenylsulfonyl, C₁ to C₁₀ alkylsulfonyl, C₁ to C₁₀ substituted alkylsulfonyl, C₁ to C₁₂ alkylaminocarbonyl, C₁ to C₁₂ substituted alkylaminocarbonyl, phenylaminocarbonyl and substituted phenylaminocarbonyl;

R⁵ is selected from the group consisting of phenyl, substituted phenyl, C₇ to C₁₈ phenylalkyl, C₇ to C₁₈ substituted phenylalkyl, C₁ to C₁₂ heterocycloalkyl, C₁ to C₁₂ substituted heterocycloalkyl, carboxy, protected carboxy, protected (monosubstituted)amino, (disubstituted)amino, C₁ to C₁₂ alkoxycarbonyl, C₁ to C₁₂ substituted alkoxycarbonyl, heterocycle, substituted heterocycle, naphthyl, substituted naphthyl, C₃ to C₇ cycloalkyl, C₃ to C₇ substituted cycloalkyl, C₅ to C₇ cycloalkenyl and C₅ to C₇ substituted cycloalkenyl;

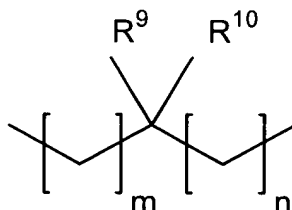
R^6 is the formula:

-D-W-E-

wherein:

W is absent or selected from the group consisting of phenylene, substituted phenylene, C_3 to C_7 cycloalkylene, C_3 to C_7 substituted cycloalkylene, C_5 to C_7 cycloalkenylene, C_5 to C_7 substituted cycloalkenylene, arylene, substituted arylene, heterocyclene, substituted heterocyclene, heteroarylene and substituted heteroarylene; and

D, which is directly attached to the nitrogen depicted in the formula, and E, which can be absent, are independently selected from the group consisting of C_1 to C_{12} alkylene, C_2 to C_{12} alkenylene, C_2 to C_{12} alkynylene, C_1 to C_{12} substituted alkylene, C_2 to C_{12} substituted alkenylene, C_2 to C_{12} substituted alkynylene, C_3 to C_7 cycloalkylene, C_3 to C_7 substituted cycloalkylene, C_5 to C_7 cycloalkenylene, C_5 to C_7 substituted cycloalkenylene, C_7 to C_{18} phenylalkylene, C_7 to C_{18} substituted phenylalkylene, C_1 to C_{12} heterocycloalkylene and C_1 to C_{12} substituted heterocycloalkylene, -NH- and the formula:



wherein R^9 and R^{10} are, independently, selected from the group consisting of a hydrogen atom, C_1 to C_{12} alkyl, C_2 to C_{12} alkenyl, C_2 to C_{12} alkynyl, C_1 to C_{12} substituted alkyl, C_2 to C_{12} substituted alkenyl, C_2 to C_{12} substituted alkynyl, C_1 to C_{12} acyl, C_1 to C_{12} substituted acyl, C_3 to C_7 cycloalkyl, C_3 to C_7 substituted cycloalkyl, C_5 to C_7 cycloalkenyl, C_5 to C_7 substituted cycloalkenyl, a heterocyclic ring, substituted heterocyclic ring, heteroaryl, substituted heteroaryl, C_7 to C_{18} phenylalkyl, C_7 to C_{18} substituted phenylalkyl, C_1 to C_{12} heterocycloalkyl, C_1 to C_{12} substituted heterocycloalkyl, C_7 to C_{18} phenylalkoxy, C_7 to C_{18} substituted phenylalkoxy, phenyl, substituted phenyl, naphthyl, substituted naphthyl, cyclic C_2 to C_7 alkylene, substituted cyclic C_2 to C_7 alkylene, cyclic C_2 to C_7 heteroalkylene, substituted cyclic C_2 to C_7

heteroalkylene, carboxy, protected carboxy, hydroxymethyl and protected hydroxymethyl; and m and n are, independently, 0, 1, 2, 3 or 4; and

R⁷ and R⁸ are, independently, selected from the group consisting of a functionalized resin, a hydrogen atom, C₁ to C₁₂ alkyl, C₁ to C₁₂ substituted alkyl, phenyl, substituted phenyl, heterocycle, substituted heterocycle, C₃ to C₇ cycloalkyl, C₃ to C₇ substituted cycloalkyl, C₅ to C₇ cycloalkenyl, C₅ to C₇ substituted cycloalkenyl, C₂ to C₁₂ alkenyl, C₂ to C₁₂ substituted alkenyl, C₇ to C₁₈ phenylalkyl, C₇ to C₁₈ substituted phenylalkyl, C₁ to C₁₂ heterocycloalkyl, [[and]] C₁ to C₁₂ substituted heterocycloalkyl, C₁ to C₁₂ acyl, C₁ to C₁₂ substituted acyl, phenylsulfonyl, substituted phenylsulfonyl, C₁ to C₁₀ alkylsulfonyl, C₁ to C₁₀ substituted alkylsulfonyl, C₁ to C₁₂ alkylaminocarbonyl, C₁ to C₁₂ substituted alkylaminocarbonyl, phenylaminocarbonyl, substituted phenylaminocarbonyl, C₁ to C₁₂ alkylaminothiocarbonyl, C₁ to C₁₂ substituted alkylaminothiocarbonyl, phenylaminothiocarbonyl and substituted phenylaminothiocarbonyl;

provided that, where R⁶ is methylene, at least one of R¹ to R⁴ must be the formula -C(O)NR¹¹R¹²; or

provided that, where R⁶ is methylene, at least one of R¹ to R⁴ must be the formula -C(O)R¹¹, wherein R¹¹ is a heterocyclic ring or substituted heterocyclic ring, wherein said ring contains at least one nitrogen atom and wherein said nitrogen atom is attached to the carbonyl carbon; or a pharmaceutically acceptable salt of a compound thereof;

with the proviso that when R⁷ and R⁸ are hydrogen or -CH₂CH₃, substituents R¹, R², R³ and R⁴ cannot be hydrogen.

Claim 57 (currently amended): The single compound of claim 56, wherein R¹, R², R³ and R⁴ [[and R³]] are, independently, selected from the group consisting of a hydrogen atom, halo, C₁ to C₁₂ alkyl, C₁ to C₁₂ substituted alkyl, carboxy, and the group consisting of (i) the formula -C(O)NR¹¹R¹² and (ii) the formula -C(O)R¹¹, wherein R¹¹ and R¹² are, independently, selected from the group consisting of a hydrogen atom, C₁ to C₁₂ alkyl, C₁ to C₁₂ substituted alkyl, C₂ to C₁₂ alkenyl, C₂ to C₁₂ substituted alkenyl, C₇ to C₁₈ phenylalkyl, C₇ to C₁₈ substituted

phenylalkyl, C₁ to C₁₂ heterocycloalkyl, C₁ to C₁₂ substituted heterocycloalkyl, heteroaryl, substituted heteroaryl, heterocycle and substituted heterocycle.

Claim 58 (previously added): The single compound of claim 56, wherein R¹, R², and R⁴ are each a hydrogen atom and R³ is selected from the group consisting of halo, C₁ to C₁₂ alkyl, C₁ to C₁₂ substituted alkyl, carboxy, and the group consisting of (i) the formula –C(O)NR¹¹R¹² and (ii) the formula –C(O)R¹¹, wherein R¹¹ and R¹² are, independently, selected from the group consisting of a hydrogen atom, C₁ to C₁₂ alkyl, C₁ to C₁₂ substituted alkyl, C₂ to C₁₂ alkenyl, C₂ to C₁₂ substituted alkenyl, C₇ to C₁₈ phenylalkyl, C₇ to C₁₈ substituted phenylalkyl, C₁ to C₁₂ heterocycloalkyl, C₁ to C₁₂ substituted heterocycloalkyl, heteroaryl, substituted heteroaryl, heterocycle and substituted heterocycle.

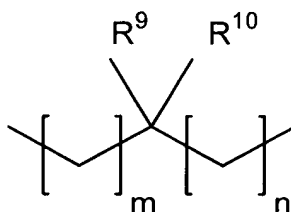
Claim 59 (previously added): The single compound of claim 56, wherein R⁶ is the formula:



wherein:

W is absent or selected from the group consisting of phenylene, substituted phenylene, C₃ to C₇ cycloalkylene and C₃ to C₇ substituted cycloalkylene; and

D, which is directly attached to the nitrogen depicted in the formula, and E, which can be absent, are, independently, selected from the group consisting of C₁ to C₁₂ alkylene, C₁ to C₁₂ substituted alkylene, –NH– and the formula:



wherein R⁹ and R¹⁰ are, independently, selected from the group consisting of a hydrogen atom, C₁ to C₁₂ alkyl, C₁ to C₁₂ substituted alkyl, C₃ to C₇ cycloalkyl, C₃ to C₇ substituted cycloalkyl, C₇ to C₁₈ phenylalkyl, C₇ to C₁₈ substituted phenylalkyl, phenyl, substituted phenyl; and m and n are, independently, 0, 1 or 2.

Claim 60 (previously added): The single compound of claim 56, wherein R^7 and R^8 are each a hydrogen atom.

Claim 61 (previously added): The single compound of claim 56, wherein:

R^1 , R^2 and R^4 are each a hydrogen atom and R^3 is the formula $-C(O)NR^{11}R^{12}$, wherein wherein R^{11} is selected from the group consisting of a hydrogen atom, methyl, ethyl and benzyl and R^{12} is selected from the group consisting of a hydrogen atom, benzyl, 4-methoxyphenyl, 4-phenoxyphenyl, (1-ethyl-2-pyrrolidino)methyl, pyridin-2-ylmethyl, 2-(pyridin-2-yl)ethyl, methyl, 3,3,5-trimethylcyclohexyl, cyclohexyl, 3-(trifluoromethyl)benzyl, 6-indazolyl, 2-(ethoxycarbonyl)ethyl, ethoxycarbonylmethyl, cyclooctyl, cyclopropyl, (N,N-diethylamino)ethyl, 3-(2-oxo-1-pyrrolidino)propyl, (1-ethyl-2-pyrrolidinyl)methyl, pyridin-4-ylmethyl, 3-(4-morpholino)propyl, 4-methylphenyl, butyl and 2-thiazolyl;

R^5 is selected from the group consisting of 3-phenoxyphenyl, 3-hydroxy-4-methoxyphenyl, 4-acetamidophenyl, 4-phenoxyphenyl, 4-bromo-2-thienyl, 4-pyridyl, 2-butyl, 4-chloro-3-nitrophenyl, 3-nitrophenyl, 2,3-dichlorophenyl, 2,5-difluorophenyl, 5-methyl-2-furyl, 4-chloro-3-fluorophenyl, 2-phenyl-4-imidazolyl, 5-nitro-2-furyl, 4-bromophenyl, 2-norbornen-5-yl, 6-nitropiperonyl, 2-chloro-5-nitrophenyl, 5-hydroxy-2-nitrophenyl, 3-hydroxyphenyl, 3,4-difluorophenyl, 4-dimethylaminophenyl, 2-thienyl, 4-cyanophenyl, 3-cyanophenyl, 4-nitrophenyl, 2-fluorophenyl, 4-carboxyphenyl, 2-bromophenyl, 2-chloro-3,4-dimethoxyphenyl, 3-thienyl, 4-quinolyl, 4-methyl-5-imidazolyl, 4-hydroxyphenyl, 2-ethyl-5-formyl-4-methylimidazolyl, 4-chloro-2-nitrophenyl, 3-pyridyl, 3,4-dimethyl-6-nitrophenyl, 5-chloro-2-nitrophenyl and 2-nitrophenyl;

R^6 is selected from the group consisting of methylmethylene, ethylene, propylene, pentylene, isobutylmethylene, 3-aminocarbonylpropylmethylene, 2-methylthioethylmethylene, isopropylmethylene, phenylmethylene, benzylmethylene, cyclohexylmethylmethylene, 4-chlorobenzylmethylene, indol-3-ylmethylmethylene, 4-trifluoroacetamidobutylmethylene, 3-guanidopropylmethylene, $-CH_2CH_2NH-$ and 1-cyclohexylene-4-NH-; and

R^7 and R^8 are each a hydrogen atom.

Claim 62 (previously presented): The single compound of claim 56, wherein:

R^1 , R^2 and R^4 are each a hydrogen atom and R^3 is the formula $-C(O)R^{11}$, wherein R^{11} is selected from the group consisting of 1,3,3-trimethyl-6-aza-6-bicyclo(3,2,1)octyl, 4-(4-fluorophenyl)-1-piperazino, 4-acetyl-1-piperazino, morpholino, 2-methyl-4-(3-methylphenyl)-1-piperazino, 4-ethoxycarbonylpiperidino and N-methylhomopiperazino;

R^5 is selected from the group consisting of 3-phenoxyphenyl, 3-hydroxy-4-methoxyphenyl, 4-acetamidophenyl, 4-phenoxyphenyl, 4-bromo-2-thienyl, 4-pyridyl, 2-butyl, 4-chloro-3-nitrophenyl, 3-nitrophenyl, 2,3-dichlorophenyl, 2,5-difluorophenyl, 5-methyl-2-furyl, 4-chloro-3-fluorophenyl, 2-phenyl-4-imidazolyl, 5-nitro-2-furyl, 4-bromophenyl, 2-norbornen-5-yl, 6-nitropiperonyl, 2-chloro-5-nitrophenyl, 5-hydroxy-2-nitrophenyl, 3-hydroxyphenyl, 3,4-difluorophenyl, 4-dimethylaminophenyl, 2-thienyl, 4-cyanophenyl, 3-cyanophenyl, 4-nitrophenyl, 2-fluorophenyl, 4-carboxyphenyl, 2-bromophenyl, 2-chloro-3,4-dimethoxyphenyl, 3-thienyl, 4-quinolyl, 4-methyl-5-imidazolyl, 4-hydroxyphenyl, 2-ethyl-5-formyl-4-methylimidazolyl, 4-chloro-2-nitrophenyl, 3-pyridyl, 3,4-dimethyl-6-nitrophenyl, 5-chloro-2-nitrophenyl and 2-nitrophenyl;

R^6 is selected from the group consisting of methylmethylene, ethylene, propylene, pentylene, isobutylmethylene, 3-aminocarbonylpropylmethylene, 2-methylthioethylmethylene, isopropylmethylene, phenylmethylene, benzylmethylene, cyclohexylmethylmethylene, 4-chlorobenzylmethylene, indol-3-ylmethylmethylene, 4-trifluoroacetamidobutylmethylene, 3-guanidopropylmethylene, $-CH_2CH_2NH-$ and 1-cyclohexylene-4-NH-; and

R^7 and R^8 are each a hydrogen atom.

Claim 63 (previously presented): The single compound of claim 56, wherein:

R^1 , R^2 and R^4 are each a hydrogen atom and R^3 is the formula $-C(O)NR^{11}R^{12}$, wherein R^{11} is selected from the group consisting of a hydrogen atom, methyl, ethyl and benzyl and R^{12} is selected from the group consisting of a hydrogen atom, 2-(2-methoxyphenyl)ethyl, (1-ethyl-2-pyrrolidino)methyl, pyridin-2-ylmethyl, 2-methyl-5-chlorophenyl,

(2-(pyridin-2-yl)ethyl), 1-ethyl-2-pyrrolidinylmethyl, 3,3,5-trimethylcyclohexyl, 3,4-methylenedioxyphenyl, 3-(trifluoromethyl)benzyl, pyridin-4-ylmethyl, 6-indazolyl, 2-(ethoxycarbonyl)ethyl, cyclooctyl, cyclopropyl, benzyl, N,N-(diethylamino)ethyl, 3-(2-oxo-1-pyrrolidine)propyl, 3-(4-morpholino)propyl, (ethoxycarbonyl)methyl and cyclohexyl;

R⁵ is selected from the group consisting of phenoxyphenyl, 4-hydroxy-3-methoxyphenyl, 3,4,5-trimethoxyphenyl, 3-hydroxy-4-methoxyphenyl, 4-acetamidophenyl, 4-phenoxyphenyl, 4-methoxyl-1-naphthyl, 4-bromo-2-thienyl, 4-pyridyl, isopropyl, 2-methylthioethyl, 4-chloro-3-nitrophenyl, 3-nitrophenyl, 4-t-butylphenyl, 2,3-dichlorophenyl, 3,5-bis(trifluoromethyl)phenyl, 2,5-difluorophenyl, 2-quinolyl, 2-chloro-3,4-dimethoxyphenyl, 5-methyl-2-furyl, 4-chloro-3-fluorophenyl, 2-phenyl-4-imidazolyl, 2-(ethoxycarbonyl)cyclopropyl, 5-nitro-2-furyl, 4-bromophenyl, cyclopropyl, 2-norbornen-5-yl, 6-nitropiperonyl, 2-chloro-5-nitrophenyl, 5-hydroxy-2-nitrophenyl, 3-hydroxyphenyl, 3,4-difluorophenyl, 4-dimethylaminophenyl, 4-methylthiophenyl, 4-(trifluoromethyl)phenyl, 2-thienyl, 2,3-dimethoxyphenyl, 3-ethoxy-4-hydroxyphenyl, 4-cyanophenyl, 3-cyanophenyl, 2-furyl, 4-nitrophenyl, 1-naphthyl, 2-methoxyphenyl, 4-isopropylphenyl, piperonyl, 2-fluorophenyl, 4-ethoxyphenyl and 2,4-dihydroxyphenyl;

R⁶ is selected from the group consisting of methylene, ethylidene, ethylene, propylene, pentylene, isopentylidene, 3-aminocarbonylbutylidene, 2-methylthiopropylidene, isobutylidene, phenylmethylene, benzylmethylene, cyclohexylethylidene, 4-chlorobenzylmethylene, indol-3-ylethylidene, 4-trifluoroacetamidopentylidene, 3-guanidobutylidene, hydroxyethylidene, 2-aminocarbonylpropylidene, isopentylidene, mercaptoethylidene, 4-hydroxybenzylmethylene, 1,3-phenylene, 1,4-phenylene, 1,4-(phenylene)-NH-, 3,6-dioxaoctylene-NH-, -CH₂CH₂NH- and 1,4-(cyclohexylene)-NH-and

R⁷ and R⁸ are each a hydrogen atom.

Claim 64 (previously presented): The single compound of claim 56, wherein:

R¹, R² and R⁴ are each a hydrogen atom and R³ is the formula -C(O)R¹¹, wherein R¹¹ is selected from the group consisting of 1,3,3-trimethyl-6-aza-6-bicyclo(3,2,1)octyl,

4-(4-fluorophenyl)-1-piperazino, 4-acetyl-1-piperazino, piperazino,
2-methyl-4-(3-methylphenyl)-1-piperazino, 4-(ethoxycarbonyl)piperidino,
N-methylhomopiperazino and N,N'-diisopropylimidamino;

R⁵ is selected from the group consisting of phenoxyphenyl, 4-hydroxy-3-methoxyphenyl, 3,4,5-trimethoxyphenyl, 3-hydroxy-4-methoxyphenyl, 4-acetamidophenyl, 4-phenoxyphenyl, 4-methoxyl-1-naphthyl, 4-bromo-2-thienyl, 4-pyridyl, isopropyl, 2-methylthioethyl, 4-chloro-3-nitrophenyl, 3-nitrophenyl, 4-t-butylphenyl, 2,3-dichlorophenyl, 3,5-bis(trifluoromethyl)phenyl, 2,5-difluorophenyl, 2-quinolyl, 2-chloro-3,4-dimethoxyphenyl, 5-methyl-2-furyl, 4-chloro-3-fluorophenyl, 2-phenyl-4-imidazolyl, 2-(ethoxycarbonyl)cyclopropyl, 5-nitro-2-furyl, 4-bromophenyl, cyclopropyl, 2-norbornen-5-yl, 6-nitropiperonyl, 2-chloro-5-nitrophenyl, 5-hydroxy-2-nitrophenyl, 3-hydroxyphenyl, 3,4-difluorophenyl, 4-dimethylaminophenyl, 4-methylthiophenyl, 4-(trifluoromethyl)phenyl, 2-thienyl, 2,3-dimethoxyphenyl, 3-ethoxy-4-hydroxyphenyl, 4-cyanophenyl, 3-cyanophenyl, 2-furyl, 4-nitrophenyl, 1-naphthyl, 2-methoxyphenyl, 4-isopropylphenyl, piperonyl, 2-fluorophenyl, 4-ethoxyphenyl and 2,4-dihydroxyphenyl;

R⁶ is selected from the group consisting of methylene, ethylidene, ethylene, propylene, pentylene, isopentylidene, 3-aminocarbonylbutylidene, 2-methylthiopropylidene, isobutylidene, phenylmethylene, benzylmethylene, cyclohexylethylidene, 4-chlorobenzylmethylene, indol-3-ylethylidene, 4-trifluoroacetamidopentylidene, 3-guanidobutylidene, hydroxyethylidene, 2-aminocarbonylpropylidene, isopentylidene, mercaptoethylidene, 4-hydroxybenzylmethylene, 1,3-phenylene, 1,4-phenylene, 1,4-(phenylene)-NH-, 3,6-dioxaoctylene-NH-, -CH₂CH₂NH- and 1,4-(cyclohexylene)-NH-; and

R⁷ and R⁸ are each a hydrogen atom.

Claim 65 (previously presented): The single compound of claim 56, wherein

R¹, R², R⁴, R⁷ and R⁸ are each a hydrogen atom;

R³ is the formula -C(O)NR¹¹R¹², wherein R¹¹ is a hydrogen atom and R¹² is selected from the group consisting of pyridin-2-ylmethyl and 3,3,5-trimethylcyclohexyl;

R⁵ is selected from the group consisting of 4-N,N-dimethylaminophenyl, 5-chloro-2-nitrophenyl, 4-bromo-2-thienyl, 2-butyl, 5-nitro-2-furyl, 4-bromophenyl, 2-thienyl, 3-thienyl, 3-cyanophenyl, 4-cyanophenyl, 4-quinolyl and 4-hydroxyphenyl; and

R⁶ is methylene.

Claim 66 (previously presented): The single compound of claim 56, wherein R⁴ is selected from the group consisting of a hydrogen atom, halo, hydroxy, protected hydroxy, cyano, C₁ to C₁₂ alkyl, C₂ to C₁₂ alkenyl, C₂ to C₁₂ alkynyl, C₁ to C₁₂ substituted alkyl, C₂ to C₁₂ substituted alkenyl, C₂ to C₁₂ substituted alkynyl, C₁ to C₁₂ alkoxy, C₁ to C₁₂ substituted alkoxy, C₁ to C₁₂ acyloxy, C₁ to C₁₂ acyl, C₃ to C₇ cycloalkyl, C₃ to C₇ substituted cycloalkyl, C₅ to C₇ cycloalkenyl, C₅ to C₇ substituted cycloalkenyl, heterocyclic ring, substituted heterocyclic ring, C₇ to C₁₈ phenylalkyl, C₇ to C₁₈ substituted phenylalkyl, C₁ to C₁₂ heterocycloalkyl, C₁ to C₁₂ substituted heterocycloalkyl, phenyl, substituted phenyl, naphthyl, substituted naphthyl, cyclic C₂ to C₇ alkylene, substituted cyclic C₂ to C₇ alkylene, cyclic C₂ to C₇ heteroalkylene, substituted cyclic C₂ to C₇ heteroalkylene.

Claim 67 (previously presented): The single compound of claim 56, wherein R⁵ is selected from the group consisting of phenyl, substituted phenyl, C₁ to C₁₂ heterocycloalkyl, C₁ to C₁₂ substituted heterocycloalkyl, heterocycle, substituted heterocycle, naphthyl, substituted naphthyl, C₃ to C₇ cycloalkyl, C₃ to C₇ substituted cycloalkyl, C₅ to C₇ cycloalkenyl and C₅ to C₇ substituted cycloalkenyl.

Claim 68 (previously presented): The single compound of claim 42, wherein R⁶ is methylene and at least one of R¹ to R⁴ must be the formula -C(O)NR¹¹R¹².

Claim 69 (previously presented): The single compound of claim 42, wherein R⁶ is methylene and at least one of R¹ to R⁴ must be the formula -C(O)R¹¹, where R¹¹ is a heterocyclic ring or substituted heterocyclic ring, wherein said ring contains at least one nitrogen atom and where said nitrogen atom is attached to the carbonyl carbon.

Claim 70 (previously presented): The single compound of claim 44, wherein R⁶ is methylene and at least one of R¹ to R⁴ must be the formula -C(O)NR¹¹R¹²;

Claim 71 (previously presented): The single compound of claim 44, wherein R⁶ is methylene and at least one of R¹ to R⁴ must be the formula -C(O)R¹¹, wherein R¹¹ is a heterocyclic ring or substituted heterocyclic ring, wherein said ring contains at least one nitrogen atom and wherein said nitrogen atom is attached to the carbonyl carbon.

REMARKS

This is a response to the Notice of Non-Responsive Amendment dated October 12, 2005. Claims 41, 42, 44, 46, 47 and 49-71 are pending in this application. In the Notice, the Examiner indicated that Applicant had not indicated which of the newly added claims (renumbered claims 49-71)¹ are readable upon the elected species (Paper No. 7, paragraph 9).

In reviewing the claims, it was noted that claim 51 was supposed to be identical to claim 22 as amended in the Amendment filed September 24, 2002 (Paper No. 22), except for the claim dependency. Claim 22 was originally dependent on independent claim 36, which is now independent claim 49. Claim 51 as presented in the last amendment filed July 21, 2004, did not include the amendments made to claim 22 made in the Amendment filed September 24, 2002. Accordingly, claim 51 has been amended to correct this error.

Also, in reviewing the claims, it was noted that claim 17, which was originally dependent on original claim 16, defined R¹, R², R³ and R⁴ as a group. Claim 16 was subsequently amended to delete "R⁴" from the group. At the same time, claim 17 was also amended to delete "R⁴" from the group. In the next Amendment filed September 24, 2002 (Paper No. 22), claim 17 was amended to be dependent on claim 39. While claim 17 was amended to change the dependency, the claim included "R⁴" in the group. There was no indication in the marked up version of claim 17 that "R⁴" was being reinserted in the group. However, since claim 39 defined the group as including "R⁴", it is believed that it was intended that claim 17 be amended to reinstate "R⁴". Accordingly, claim 57 has been amended to include "R⁴" in the group.

¹ It was noted that the Amendment filed July 21, 2004 contained two claims numbered 63. Accordingly, original numbered claims 63-70, beginning with the second claim numbered 63, have been renumbered as claims 64 to 71.

Application No.: 09/401,004

None of the claims previously presented, that is claims 17-22, 25, 26, 35, 36, 38-40 and 42-45, been rejected over prior art that read on the elected species. In the Office Action dated July 19, 2001, the Examiner indicated that the “elected species is deemed free of the prior art” (Paper No. 15, ¶ 8). The Examiner regarded newly added claims 35-40, and original claim 16-22, 25 and 26, as reading on the elected invention (Paper No. 19, ¶ 8).

Claims 16-22, 25 and 35-40 were subsequently rejected over new prior art, but the prior art did not disclose or suggest the elected species (Paper No. 19, ¶¶ 14-16). Dependent claim 26 was indicated as containing allowable subject matter (Paper No. 19, ¶ 17). In response to the Office Action, claims 16 and 37 were canceled and claims 17, 18, 20, 21 and 35 were amended to change the dependency from independent claim 16 to independent claim 39, while claims 19, 22-25 were amended to change the dependency from independent claim 16 to independent claim 36. In addition, new independent claims 42 and 44 directed to the elected invention were added as well as new dependent claims 42 and 45-47. New dependent claim 48 was also added. This new claim was directed to a a method of preparing compound defined in claim 39. In the next Office Action, the Examiner did not require Applicant to indicate which of the claims were readable upon the elected species, but held that claims 46 and 47 were withdrawn from consideration because they did not read on the elected species and that claim 48 was withdrawn because directed to a non-elected invention (Paper No. 27, ¶¶ 2 and 3). The Examiner regarded claims 17-22, 25, 26, 35, 36, 38-40 and 42-45 as being directed to the elected species (Paper No. 27, ¶ 4).

In the next Office Action, the prior rejections were withdrawn and the claims were rejected again over a new prior art reference. The Action was made final. Applicant requested

continued examination of the application and filed declarations under Rule 131 to antedate the reference.

In the first Office Action after filing the request for continued examination, the rejection was withdrawn and new rejections were made over new prior art references. None of the new references relied upon by the Examiner disclosed or suggested the elected species.

Independent claims 36 and 39, which the Examiner previously indicated were directed to the elected invention, were rewritten as claims 49 and 56, respectively. The only difference between new claim 49 and previously presented claim 36 is the inclusion of a proviso at the end of the claim to overcome the prior art rejection. Claim 36 was rejected over Barton. The basis for the rejection is that Barton's compound includes an R³ representing a protected hydroxyl group while R⁵ is a substituted alkyl group and an R⁶ is methylene. None of these moieties relied upon by the Examiner are directed to moieties of the elected species. Claim 39 was rejected as being anticipated by Hideg et al., Sawlewicz et al. or Barton et al. None of these references disclosed or suggested compounds comprising the elected species. In particular, the moieties for R⁵ and R⁶ disclosed in the references are not directed to the elected species. Except for the proviso in claims 49 and 56, the claims are identical to claims 36 and 39 which the Examiner has held are directed to the elected invention. Therefore, claims 36 and 39 are readable upon the elected species.

As for claims 50-55 and 57-71, these are dependent claims and are dependent on either original claim 36 or claim 39, now claims 49 and 56, respectively. Claims 18-21, 25-30, 35, 38 and 40 are merely represented as new claims with the only change being to the claim number from which the claim depends. Claims 17 and 22 were amended as discussed, *supra*. Each of

claims 43 and 45 have been split into two new claims. The following table correlates the original claims to the new claim number and indicates the claims which have been amended.

| Original Claim Number | New Claim Number | Changes (except for the change in dependency of the claim) |
|-----------------------|------------------|---|
| 17 | 57 | Amended to correct R ¹ , R ² , R ³ and R ⁴ group error. |
| 18 | 58 | None |
| 19 | 50 | None |
| 20 | 59 | None |
| 21 | 60 | None |
| 22 | 51 | Amended to correspond to amended claim 22 |
| 23 | 52 | None |
| 24 | 53 | None |
| 25 | 54 | None |
| 26 | 61 | None |
| 27 | 62 | None |
| 28 | 63 | None |
| 29 | 64 | None |
| 30 | 65 | None |
| 35 | 66 | None |
| 38 | 55 | None |
| 40 | 67 | None |
| 43 | 68 + 69 | Original claim 43 split into two separate claims |
| 45 | 70 + 71 | Original claim 45 split into two separate claims |

Since the Examiner has found the elected species to be free of prior art and has determined that prior claims 17-22, 25, 35, 36, 38-40, and 42-45 to read on the elected species, claims 42, 44, 50-52, 54-61, 66-68 and 70 read on the elected species. Also, claim 63, which is claim 28 rewritten to be dependent on claim 56, is readable on the elected species because R¹, R², R⁴, R⁷ and R⁸ can be hydrogen; R³ can be -C(O)NR¹¹R¹² wherein R¹¹ and R¹² are hydrogen; R⁵ can be a phenoxyphenyl; and R⁶ can be an ethylene group (i.e. methylenemethylene as construed by the Examiner).

Application No.: 09/401,004

In the Notice, the Examiner also indicated that the appropriate time fees must be paid to extend the time period for response. The Amendment filed July 21, 2005 did include a petition for a three-month extension of time. A copy is attached as Exhibit A.

To the extent necessary, a petition for an extension of time under 37 C.F.R. 1.136 is hereby made. Please charge any shortage in fees due under 37 C.F.R. § 1.17 and in connection with the filing of this paper, including extension of time fees, to Deposit Account 500417 and please credit any excess fees to such deposit account.

Respectfully submitted,

McDERMOTT WILL & EMERY LLP



Cameron K. Weiffenbach
Registration No. 44,488

600 13th Street, N.W.
Washington, DC 20005-3096
Phone: 202.756.8000 CKW:ckw
Facsimile: 202.756.8087
Date: August 5, 2005

**Please recognize our Customer No. 20277
as our correspondence address.**

Applicant: LANG, et al. Docket No. 53904-105 Serial/Reg./Patent No. 09/401,004

Title: BENZIMIDAZOLE DERIVATIVES AND COMBINATORIAL LIBRARIES THEREOF

Date Sent: 7/21/2004 ☒ Hand Carried ☐ Fax ☐ Electronic ☐ Cert. of Mailing ☐ First Class Mail ☐ Express Mail No. _____

☐ Transmittal Letter

☐ New Patent App ☐ Utility ☐ Design ☐ Cont. ☐ CIP ☐ Div. ☐ PCT ☐ RCE ☐ Prov

☐ Other: _____

_____ pages of Specification

_____ pages of Claims

_____ pages of Abstract

_____ pages of Formal/Informal Drawings

☐ Small Entity ☐ Large Entity

☐ Declaration/Power of Attorney

☐ Recordation of Assignment/Security Agreement

☐ Information Disclosure Statement: Form PTO 1449

_____ copies of cited references

☐ Preliminary Amendment

☐ Response to Missing Parts Notice

☐ Resp. to Notice to Correct App. Papers

☐ Certified Copy of Priority Doc.

☐ Claim for Convention Priority

☒ Response/Amendment to Office Action of 2/12/04

☒ Request for 3 month Extension of Time

☐ Letter submitting _____ pages of drawings

☐ Req. for Approval of Drawing Amendments

☐ Req. for Oral Hearing

☐ Not. of Appeal ☐ Appeal Brief ☐ Reply Brief

☐ Rule 312 Amendment/Letter

☐ Req. for Acknowledgement of Cited Art

☐ Issue Fee

☐ Publication Fee

☐ Req. for Certificate of Correction

☐ Maintenance Fee for _____ years after grant

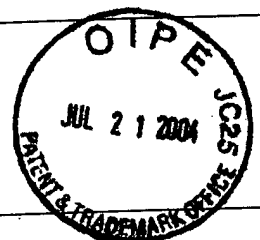
☐ Fee Address Indication Form

☐ Terminal Disclaimer

☐ Petition to Commissioner

☐ Status Inquiry

☐ Other _____



| | | | | | |
|--------------|--|--------|----------------|--------------|----------------------------|
| Check for \$ | <input type="checkbox"/> Charge Deposit Acct. 500417\$ | 950.00 | Atty Init. CKW | Tkpr. # 5169 | Secy. or PL: JReid-Johnson |
|--------------|--|--------|----------------|--------------|----------------------------|

CMS Descrip.: (6) 950.00

THE PATENT AND TRADEMARK OFFICE DATE STAMPED HEREON IS ACKNOWLEDGEMENT THAT THE ITEMS, CHECKED ABOVE, WERE RECEIVED BY THE PTO ON THE DATE STAMPED.

Docket No.: 53904-105

PATENT

IN THE UNITED STATES PATENT AND TRADEMARK OFFICE

| | | |
|--|---|---------------------------|
| In re Application of | : | Customer Number: 20277 |
| LANG, et al. | : | Confirmation Number: 4060 |
| Serial No.: 09/401,004 | : | Group Art Unit: 1639 |
| Filed: September 21, 1999 | : | Examiner: Jon D. Epperson |
| For: BENZIMIDAZOLE DERIVATIVES AND COMBINATORIAL LIBRARIES THEREOF | : | |

PETITION FOR EXTENSION OF TIME

Mail Stop Amendment
Commissioner for Patents
P.O. Box 1450
Alexandria, VA 22313-1450

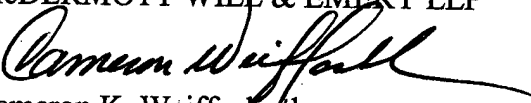
Sir:

It is respectfully requested that the time for response to the Office Action dated February 12, 2004, now due to expire May 12, 2004, be extended for three month(s) and set to expire on August 12, 2004.

Please charge the extension fee of \$950.00 to Deposit Account No. 500417. Please charge any additional fees or credit any overpayment to Deposit Account No. 500417.

Respectfully submitted,

McDERMOTT WILL & EMERY LLP


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600 13th Street, N.W.
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Facsimile: (202) 756-8087
Date: July 21, 2004

Docket No.: 53904-105

PATENT

IN THE UNITED STATES PATENT AND TRADEMARK OFFICE

| | | |
|---|---|---------------------------|
| In re Application of | : | Customer Number: 20277 |
| | : | |
| Hengyuan Lang, et al. | : | Confirmation Number: 4060 |
| | : | |
| Serial No.: 09/401,004 | : | Group Art Unit: 1639 |
| | : | |
| Filed: September 21, 1999 | : | Examiner: Jon D. Epperson |
| | : | |
| For: BENZIMIDAZOLE DERIVATIVES AND COMBINATORIAL LIBRARIES THEREOF | | |

**REVOCATION OF POWER OF ATTORNEY AND APPOINTMENT OF NEW
ATTORNEYS AND CERTIFICATION UNDER 37 C.F.R. § 3.73(b)**

Mail Stop Amendment
Commissioner for Patents
P.O. Box 1450
Alexandria, VA 22313-1450

Sir:

The undersigned assignee of the above-identified application hereby revoke all previous Powers of Attorney and appoints the following attorneys with full power to prosecute the application, to make alterations and amendments therein, and to transact all business in the United States Patent Office connected therewith.

I hereby appoint the following attorneys and/or agents: Daniel Bucca, Reg. No. 42,368; Bernard P. Codd, Reg. No. 46,429; Paul Devinsky, Reg. No. 28,553; Thomas A. Haag, Reg. No. 47,621; Brian K. Seidleck, Reg. No. 51,321; Arthur J. Steiner, Reg. No. 26,106; Judith L. Toffenetti, Reg. No. 39,048; Kelli N. Watson, Reg. No. 47,170; Cameron K. Weiffenbach, Reg. No. 44,488; Aaron Weisstuch, Reg. No. 41,557; all of

Application No. 09/4001,004

McDERMOTT, WILL & EMERY
600 13th Street, N.W.
Washington, DC 20005-3096

with full power of substitution and revocation, to prosecute this application and to transact all business in the Patent and Trademark Office connected therewith, and all future correspondence should be addressed to them.

CERTIFICATE UNDER 37 C.F.R. § 3.73(b)

LION BIOSCIENCE AG, having a place of business at Waldhofer Strasse 98, 69123 Heidelberg, Germany, certifies that it is the as any of the entire right, title and interest in the patent application identified above by virtue of an assignment recorded in the U.S. Patent and Trademark Office on September 13, 2001, at Reel 012134, Frame 0632.

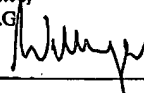
The undersigned has reviewed all the documents in the chain of title all the patent application identified above and, to the best all of the undersigned's knowledge and belief, title is in the as in the identified above.

The undersigned (whose title is supplied below) is empowered to act on behalf of all the assignee.

The undersigned further declares that all statements made herein of its own knowledge are true and that all statements made on information and belief are believed to be true; and further that these statements were made with the knowledge that willful false statements and the like so made are punishable by fine or imprisonment, or both, under Section 1001 of Title 18 of the United States Code, and that such willful false statements may jeopardize the validity of the application or any patent issuing thereon.

Respectfully submitted,
LION Bioscience AG

Signature: _____



Print Name: Peter Willinger

Title: CFO

Date: July 21, 2005